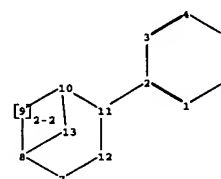
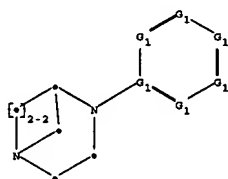


NPL

		Results
16.	TITLE-ABSTR-KEY(nicotine binding) and TITLE-ABSTR-KEY(anxiety) [All Sources(- All Sciences -)]	3
15.	TITLE-ABSTR-KEY(nicotine binding) and TITLE-ABSTR-KEY(attention deficit) [All Sources(- All Sciences -)]	4
14.	TITLE-ABSTR-KEY(nicotine binding) and TITLE-ABSTR-KEY(suppressing) [All Sources(- All Sciences -)]	1
13.	TITLE-ABSTR-KEY(suppressing nicotine binding) [All Sources(- All Sciences -)]	0
12.	TITLE-ABSTR-KEY(nicotinic receptor) and TITLE-ABSTR-KEY(modulating) [All Sources(- All Sciences -)]	129
11.	TITLE-ABSTR-KEY(nicotinic receptor modulating) and TITLE-ABSTR-KEY(alzheimer) [All Sources(- All Sciences -)]	2
10.	TITLE-ABSTR-KEY(nicotinic receptor modulating) and TITLE-ABSTR-KEY(trauma) [All Sources(- All Sciences -)]	0
9.	TITLE-ABSTR-KEY(nicotinic receptor modulating) and TITLE-ABSTR-KEY(psychosis) [All Sources(- All Sciences -)]	0
8.	TITLE-ABSTR-KEY(nicotinic receptor modulating) and TITLE-ABSTR-KEY(jet lag) [All Sources(- All Sciences -)]	0
7.	TITLE-ABSTR-KEY(nicotinic receptor modulating) and TITLE-ABSTR-KEY(multiple sclerosis) [All Sources(- All Sciences -)]	0
6.	TITLE-ABSTR-KEY(nicotinic receptor modulating) and TITLE-ABSTR-KEY(ulcerative colitis) [All Sources(- All Sciences -)]	0
5.	TITLE-ABSTR-KEY(nicotinic receptor modulating) and TITLE-ABSTR-KEY (inflammatory bowel disease) [All Sources(- All Sciences -)]	0
4.	TITLE-ABSTR-KEY(nicotine) and TITLE-ABSTR-KEY(inflammatory bowel disease) [All Sources(- All Sciences -)]	42
3.	TITLE-ABSTR-KEY(nicotine receptor) and TITLE-ABSTR-KEY(crohn) [All Sources(- All Sciences -)]	0
2.	TITLE-ABSTR-KEY(nicotine receptor) and TITLE-ABSTR-KEY(ulcerative colitis) [All Sources(- All Sciences -)]	0
1.	TITLE-ABSTR-KEY(nicotine receptor) and TITLE-ABSTR-KEY(inflammatory bowel disease) [All Sources(- All Sciences -)]	0



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

2-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 8-13 9-10 10-11 10-13 11-12

exact/norm bonds :

1-2 1-6 2-3 2-11 3-4 4-5 5-6 7-8 7-12 8-9 8-13 9-10 10-11 10-13 11-12

isolated ring systems :

containing 7 :

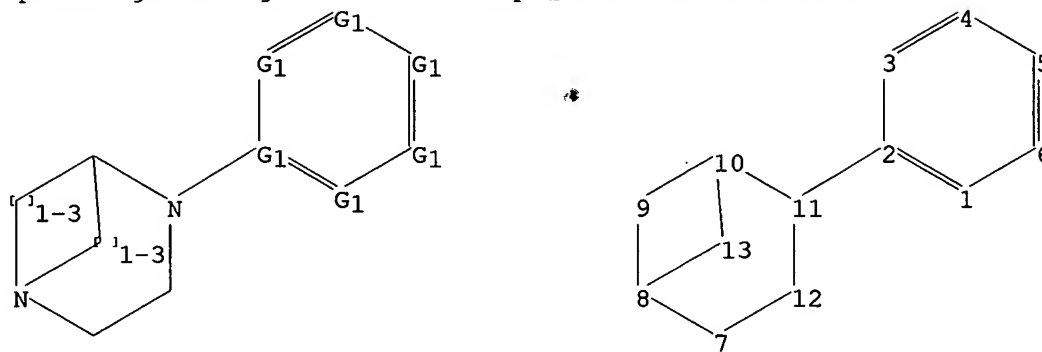
G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom

=>

Uploading C:\Program Files\Stnexp\Queries\10657738.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

2-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 8-13 9-10 10-11 10-13 11-12

exact/norm bonds :

1-2 1-6 2-3 2-11 3-4 4-5 5-6 7-8 7-12 8-9 8-13 9-10 10-11 10-13 11-12

isolated ring systems :

containing 7 :

G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

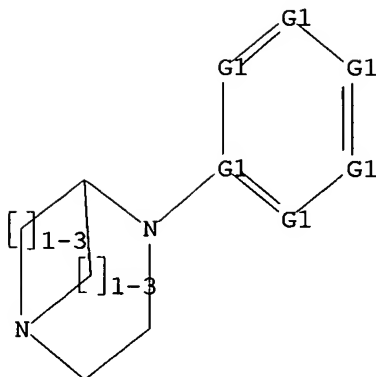
11:Atom 12:Atom 13:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 15:27:17 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 51313 TO ITERATE

3.9% PROCESSED 2000 ITERATIONS

1 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**

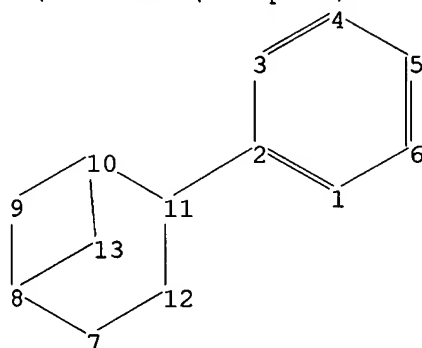
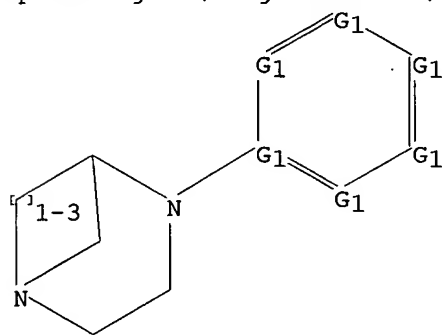
PROJECTED ITERATIONS: 1012751 TO 1039769

PROJECTED ANSWERS: 210 TO 816

L2 1 SEA SSS SAM L1

=> =>

Uploading C:\Program Files\Stnexp\Queries\10657738 (Group II).str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

2-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 8-13 9-10 10-11 10-13 11-12
 exact/norm bonds :
 1-2 1-6 2-3 2-11 3-4 4-5 5-6 7-8 7-12 8-9 8-13 9-10 10-11 10-13 11-12

isolated ring systems :
 containing 7 :

G1:C,N

Match level :

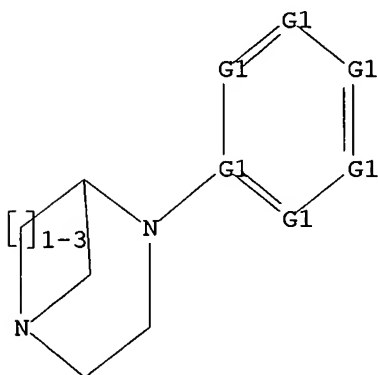
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom

L3 STRUCTURE UPLOADED

=> d l3

L3 HAS NO ANSWERS

L3 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sss sam

SAMPLE SEARCH INITIATED 15:30:54 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 4768 TO ITERATE

41.9% PROCESSED 2000 ITERATIONS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

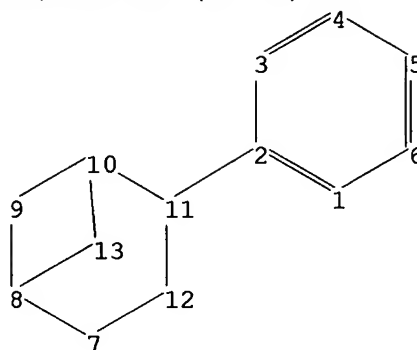
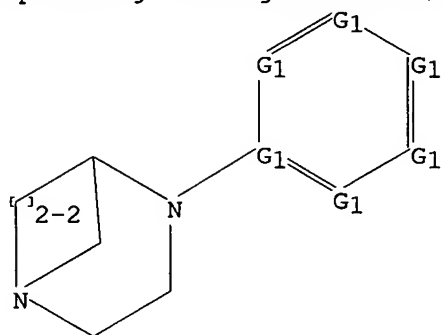
9 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 91220 TO 99500
 PROJECTED ANSWERS: 152 TO 706

L4 9 SEA SSS SAM L3

=> =>

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ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

2-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 8-13 9-10 10-11 10-13 11-12

exact/norm bonds :

1-2 1-6 2-3 2-11 3-4 4-5 5-6 7-8 7-12 8-9 8-13 9-10 10-11 10-13 11-12

isolated ring systems :

containing 7 :

G1:C,N

Match level :

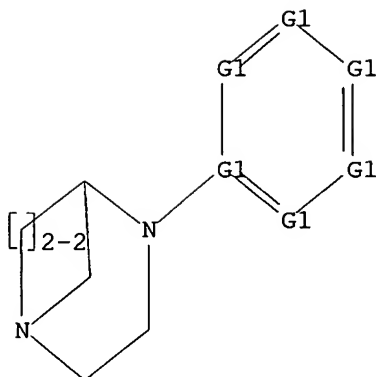
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 15 sss sam

SAMPLE SEARCH INITIATED 15:40:18 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1633 TO ITERATE

100.0% PROCESSED 1633 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 30236 TO 35084

PROJECTED ANSWERS: 56 TO 504

L6 14 SEA SSS SAM L5

=> => s 15 sss ful

FULL SEARCH INITIATED 15:41:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 32542 TO ITERATE

100.0% PROCESSED 32542 ITERATIONS

182 ANSWERS

SEARCH TIME: 00.00.01

L7 182 SEA SSS FUL L5

=> => s 17

L8 23 L7

=> d 18 1-23 bib,ab,hitstr

L8 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2004:252513 CAPLUS
 DN 140:287419
 TI Preparation of diazabicyclic compounds as nicotinic receptor ligands
 useful in the treatment of CNS and other disorders
 IN O'Donell, Christopher John; Vincent, Lawrence Albert; O'Neill, Brian
Thomas; Coe, Jotham Wadsworth
 PA Pfizer Products Inc., USA
 SO PCT Int. Appl., 78 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

App. PCT

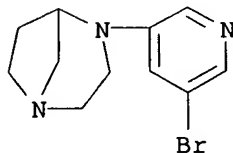
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004024729	A1	20040325	WO 2003-IB3795	20030829
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2498291	AA	20040325	CA 2003-2498291	20030829
	BR 2003014201	A	20050712	BR 2003-14201	20030829
	EP 1551843	A1	20050713	EP 2003-795129	20030829
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2004106603	A1	20040603	US 2003-657738	20030908
PRAI	US 2002-409694P	P	20020910	← <i>Prov.</i>	
	WO 2003-IB3795	W	20030829		

OS MARPAT 140:287419

AB The present invention relates to diazabicyclic compds. (shown as I; variables defined below; e.g. II) that are useful in treating central nervous system (CNS) diseases, disorders and conditions, such as but not limited to nicotine addiction, schizophrenia, depression, Alzheimer's disease, Parkinson's disease and ADHD. The present invention further comprises pharmaceutical compns. containing such compds. and methods of treatment comprising the use of such compds. In tests of suppression of nicotine binding to specific receptor sites, tested I exhibited IC₅₀ <100 μM. [125I]-Bungarotoxin binding to nicotinic receptors in GH4C1 cells was inhibited by tested I with IC₅₀ <10 μM; [125I]-Bungarotoxin binding to α1 nicotinic receptors in Torpedo electroplax membranes was inhibited by tested I with IC₅₀ <100 μM. Although the methods of preparation are not claimed, 41 example preps. are included. For example, II was prepared in 5 steps (58, 90, 74, 80, 22 %, resp., yields) starting with N-benylation of Et 2-(3-oxopiperazin-2-yl)acetate followed by reduction to 2-(1-benzylpiperazin-2-yl)ethanol followed by cyclization to 4-benzyl-1,4-diazabicyclo[3.2.1]octane followed by debenylation and heteroarylation at the 4-aza position with 3,5-dibromopyridine. For I: A = CR1 or N; B = CR2 or N; D = CR3 or N; E = CR4 or N; and F = CR5 or N; and the maximum number of N atoms amongst A, B, D, E, and F is two; m = 1-3 and n = 1-3 and excluding all compds. where m = n = 2; each R1, R2, R3, R4 and R5 = F, Cl, Br, I, nitro, cyano, CF₃, -NR6R7, -NR6C(O)R7, -NR6C(O)NR7R8, -NR6C(O)OR7, -NR6S(O)2R7, -NR6S(O)2NR7R8, -OR6, -OC(O)R6, -OC(O)OR6,

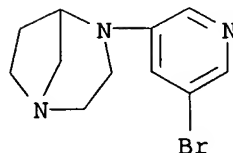
-OC(O)NR₆R₇, -OC(O)SR₆, -C(O)OR₆, -C(O)R₆, -C(O)NR₆R₇, -SR₆, -S(O)R₆, -S(O)R₆, -S(O)NR₆R₇, and a substituent from the definition of R₆. Each R₆, R₇, and R₈ = H, (un)branched (C₁-C₈)alkyl, (un)branched (C₂-C₈)alkenyl, (un)branched (C₂-C₈)alkynyl, (C₃-C₈)cycloalkyl, (C₄-C₈)cycloalkenyl, 3-8 membered heterocycloalkyl, (C₅-C₁₁)bicycloalkyl, (C₇-C₁₁)bicycloalkenyl, 5-11 membered heterobicycloalkyl, 5-11 membered heterobicycloalkenyl, (C₆-C₁₁) aryl, and 5-12 membered heteroaryl; or R₁ and R₂, or R₂ and R₃, or R₃ and R₄, or R₄ and R₅, may form another 6-membered aromatic or heteroarom. ring sharing A and B, or B and D, or D and E, or E and F, resp., and may be (un)substituted with 1-4 substituents independently set forth in the definition of R₆, R₇ and R₈ above; addnl. details are given in the claims.

- IT **675589-79-4P**, 4-(5-Bromopyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane dihydrochloride **675589-82-9P**, 4-(5-Bromopyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of diazabicyclic compds. as nicotinic receptor ligands useful in treatment of CNS and other disorders)
 RN 675589-79-4 CAPLUS
 CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-bromo-3-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

- RN 675589-82-9 CAPLUS
 CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-bromo-3-pyridinyl)- (9CI) (CA INDEX NAME)



- IT **675589-83-0P**, 4-(5-Phenylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675589-84-1P**, 4-(Pyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675589-85-2P**, 4-(Pyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675589-86-3P**, 4-(Pyridin-4-yl)-1,4-diazabicyclo[3.2.1]octane **675589-87-4P**, 4-(5-Bromopyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675589-88-5P**, 4-(5-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675589-89-6P**, 4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane

675589-90-9P, 4-(Pyrazin-2-yl)-1,4-diazabicyclo[3.2.1]octane
 675589-91-0P, 4-(Pyrimidin-5-yl)-1,4-diazabicyclo[3.2.1]octane
 675589-92-1P, 4-(5-Chloropyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675589-93-2P, 4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
 675589-95-4P, 4-(3-Bromophenyl)-1,4-diazabicyclo[3.2.1]octane
 675589-96-5P, 5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)nicotinonitrile
 675589-97-6P, 4-(5-Trifluoromethylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675589-98-7P, 4-[5-(2-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
 675590-00-8P, 4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-02-0P, 4-[5-(2-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
 675590-03-1P, 4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-05-3P, 3-(1,4-Diazabicyclo[3.2.1]oct-4-yl)quinoline 675590-06-4P, 4-(3-Trifluoromethylpyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane
 675590-07-5P, 4-(6-Methoxypyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane 675590-08-6P, 4-[5-(2-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
 675590-09-7P, 4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-11-1P, 4-[5-(o-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-13-3P, 5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)nicotinic acid ethyl ester
 675590-14-4P, 4-(5-Chloropyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane 675590-15-5P, 4-(6-Methylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-16-6P, 4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane
 675590-17-7P, 4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane 675590-18-8P, 4-[5-(o-Tolyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane 675590-19-9P, 4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane
 675590-20-2P, 4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane 675590-21-3P, 4-[5-(4-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
 675590-23-5P, 4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-25-7P, 4-[5-(3-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
 675590-27-9P, 4-[5-(p-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-29-1P, 4-[5-(4-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
 675590-30-4P, 4-(5-Methoxypyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-31-5P, 5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)-[3,4']bipyridinyl 675590-32-6P, 4-(2-Methyl-5-trifluoromethylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane
 675590-33-7P, (+)-4-(5-Bromopyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-34-8P, (+)-4-(5-Phenylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-35-9P, (+)-4-(Pyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane 675590-36-0P, (+)-4-(Pyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-37-1P, (+)-4-(Pyridin-4-yl)-1,4-diazabicyclo[3.2.1]octane 675590-38-2P, (+)-4-(5-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane
 675590-39-3P, (+)-4-(5-Bromopyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane 675590-40-6P, (+)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-41-7P, (+)-4-(Pyrazin-2-yl)-1,4-diazabicyclo[3.2.1]octane 675590-42-8P, (+)-4-(Pyrimidin-5-yl)-1,4-diazabicyclo[3.2.1]octane 675590-43-9P, (+)-4-(5-Chloropyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane

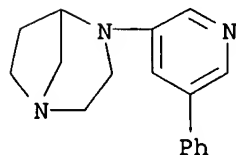
675590-44-0P, (+)-4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-45-1P**, (+)-4-(3-Bromophenyl)-1,4-diazabicyclo[3.2.1]octane **675590-46-2P**, (+)-5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)nicotinonitrile **675590-47-3P**, (+)-4-(5-Trifluoromethylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-48-4P**, (+)-4-[5-(2-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-49-5P**, (+)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-50-8P**, (+)-4-[5-(2-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-51-9P**, (+)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-53-1P**, (+)-3-(1,4-Diazabicyclo[3.2.1]oct-4-yl)quinoline **675590-55-3P**, (+)-4-(3-Trifluoromethylpyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675590-57-5P**, (+)-4-(6-Methoxypyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675590-58-6P**, (+)-4-[5-(2-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-59-7P**, (+)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-60-0P**, (+)-4-[5-(o-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-61-1P**, (+)-5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)nicotinic acid ethyl ester **675590-62-2P**, (+)-4-(5-Chloropyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675590-63-3P**, (+)-4-(6-Methylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-64-4P**, (+)-4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-65-5P**, (+)-4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-66-6P**, (+)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-67-7P**, (+)-4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-68-8P**, (+)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-69-9P**, (+)-4-[5-(4-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-70-2P**, (+)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-71-3P**, (+)-4-[5-(3-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-72-4P**, (+)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-73-5P**, (+)-4-[5-(4-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-74-6P**, (+)-4-(5-Methoxypyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-75-7P**, (+)-5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)-[3,4']bipyridinyl **675590-76-8P**, (+)-4-(2-Methyl-5-trifluoromethylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-77-9P**, (-)-4-(5-Bromopyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-78-0P**, (-)-4-(5-Phenylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-81-5P**, (-)-4-(Pyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675590-82-6P**, (-)-4-(Pyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-83-7P**, (-)-4-(Pyridin-4-yl)-1,4-diazabicyclo[3.2.1]octane **675590-84-8P**, (-)-4-(5-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-85-9P**, (-)-4-(5-Bromopyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675590-86-0P**, (-)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-87-1P**, (-)-4-(Pyrizin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675590-88-2P**, (-)-4-(Pyrimidin-5-yl)-1,4-diazabicyclo[3.2.1]octane **675590-89-3P**, (-)-4-(5-Chloropyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-90-6P**, (-)-4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-91-7P**, (-)-4-(3-Bromophenyl)-1,4-diazabicyclo[3.2.1]octane

675590-92-8P, (-)-5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)nicotinonitrile **675590-93-9P**, (-)-4-(5-Trifluoromethylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-94-0P**, (-)-4-[5-(2-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-95-1P**, (-)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-96-2P**, (-)-4-[5-(2-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-97-3P**, (-)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-98-4P**, (-)-3-(1,4-Diazabicyclo[3.2.1]oct-4-yl)quinoline **675590-99-5P**, (-)-4-(3-Trifluoromethylpyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675591-00-1P**, (-)-4-(6-Methoxypyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675591-01-2P**, (-)-4-[5-(2-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675591-02-3P**, (-)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675591-03-4P**, (-)-4-[5-(o-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675591-04-5P**, (-)-5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)nicotinic acid ethyl ester **675591-05-6P**, (-)-4-(5-Chloropyridin-2-yl)-1,4-diazabicyclo[3.2.1]octane **675591-06-7P**, (-)-4-(6-Methylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675591-07-8P**, (-)-4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675591-08-9P**, (-)-4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675591-09-0P**, (-)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675591-10-3P**, (-)-4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675591-11-4P**, (-)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675591-12-5P**, (-)-4-[5-(4-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675591-13-6P**, (-)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675591-14-7P**, (-)-4-[5-(3-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675591-15-8P**, (-)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675591-16-9P**, (-)-4-[5-(4-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675591-17-0P**, (-)-4-(5-Methoxypyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675591-18-1P**, (-)-5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)-[3,4']bipyridinyl **675591-19-2P**, (-)-4-(2-Methyl-5-trifluoromethylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of diazabicyclic compds. as nicotinic receptor ligands useful in treatment of CNS and other disorders)

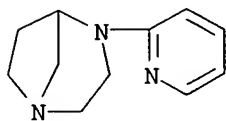
RN 675589-83-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



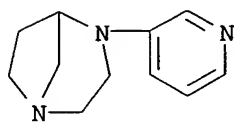
RN 675589-84-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



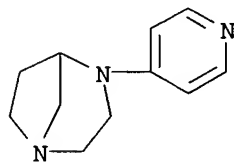
RN 675589-85-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(3-pyridinyl)- (9CI) (CA INDEX NAME)



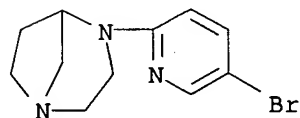
RN 675589-86-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



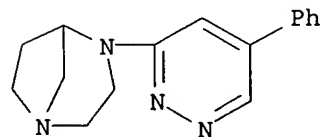
RN 675589-87-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-bromo-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 675589-88-5 CAPLUS

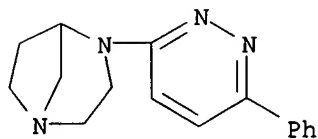
CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 675589-89-6 CAPLUS

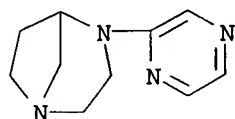
CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-phenyl-3-pyridazinyl)- (9CI) (CA

INDEX NAME)



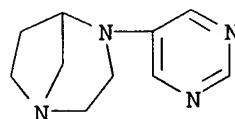
RN 675589-90-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-pyrazinyl- (9CI) (CA INDEX NAME)



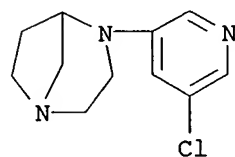
RN 675589-91-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-pyrimidinyl)- (9CI) (CA INDEX NAME)



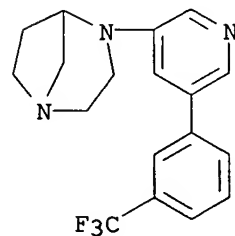
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CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-chloro-3-pyridinyl)- (9CI) (CA INDEX NAME)



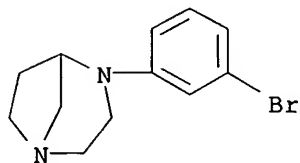
RN 675589-93-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



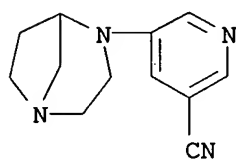
RN 675589-95-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(3-bromophenyl)- (9CI) (CA INDEX NAME)



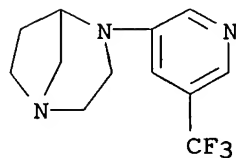
RN 675589-96-5 CAPLUS

CN 3-Pyridinecarbonitrile, 5-(1,4-diazabicyclo[3.2.1]oct-4-yl)- (9CI) (CA INDEX NAME)



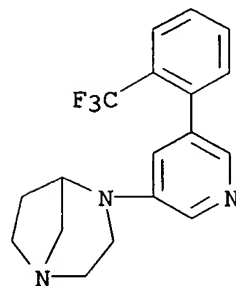
RN 675589-97-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(trifluoromethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



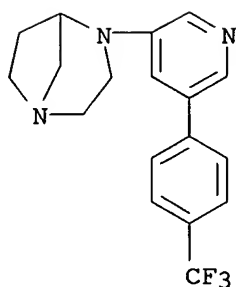
RN 675589-98-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

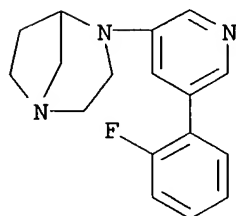


RN 675590-00-8 CAPLUS

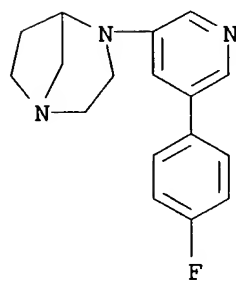
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



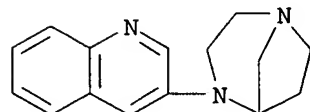
RN 675590-02-0 CAPLUS
 CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorophenyl)-3-pyridinyl]- (9CI)
 (CA INDEX NAME)



RN 675590-03-1 CAPLUS
 CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-fluorophenyl)-3-pyridinyl]- (9CI)
 (CA INDEX NAME)

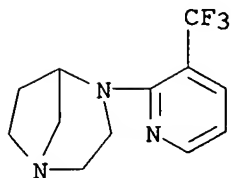


RN 675590-05-3 CAPLUS
 CN 1,4-Diazabicyclo[3.2.1]octane, 4-(3-quinolinyl)- (9CI) (CA INDEX NAME)



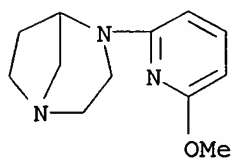
RN 675590-06-4 CAPLUS
 CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI)

(CA INDEX NAME)



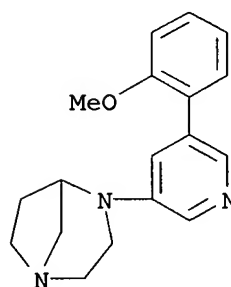
RN 675590-07-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)



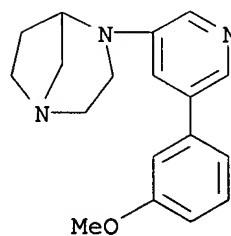
RN 675590-08-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 675590-09-7 CAPLUS

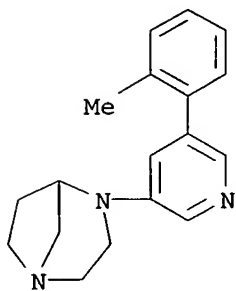
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 675590-11-1 CAPLUS

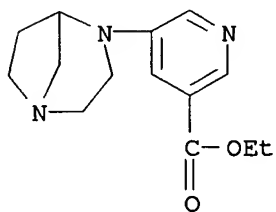
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-3-pyridinyl]- (9CI)

(CA INDEX NAME)



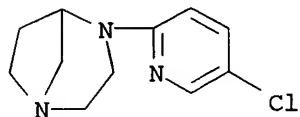
RN 675590-13-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(1,4-diazabicyclo[3.2.1]oct-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)



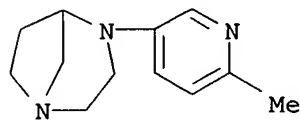
RN 675590-14-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



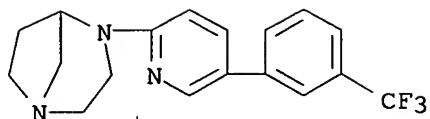
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CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



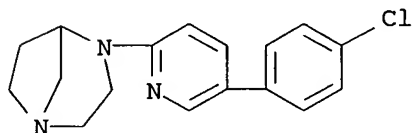
RN 675590-16-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



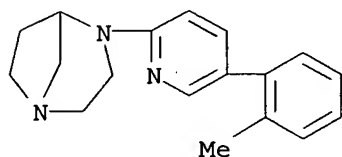
RN 675590-17-7 CAPLUS

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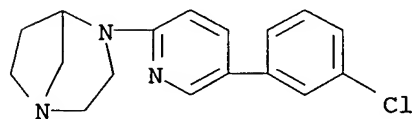
RN 675590-18-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)



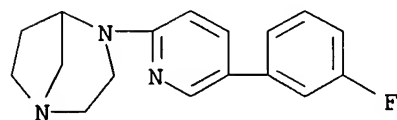
RN 675590-19-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)



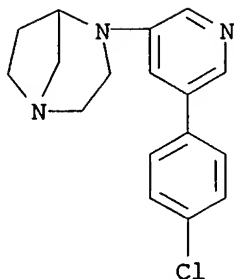
RN 675590-20-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-fluorophenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)



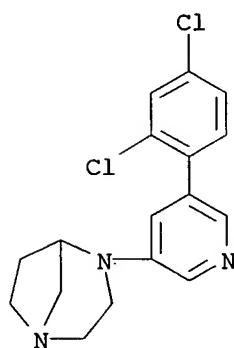
RN 675590-21-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



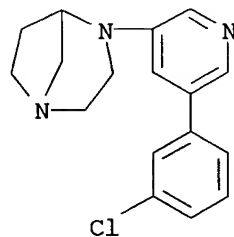
RN 675590-23-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



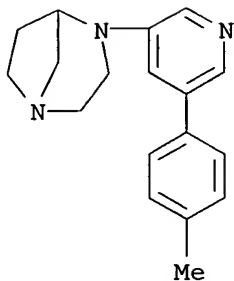
RN 675590-25-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



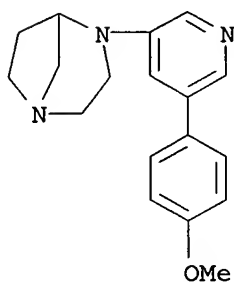
RN 675590-27-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methylphenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



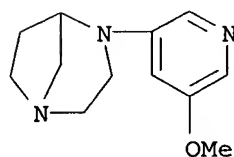
RN 675590-29-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



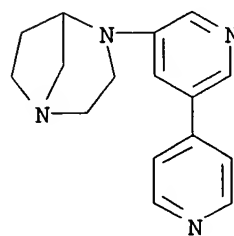
RN 675590-30-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-methoxy-3-pyridinyl)- (9CI) (CA INDEX
NAME)



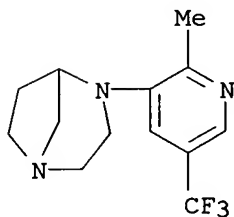
RN 675590-31-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3,4'-bipyridin]-5-yl- (9CI) (CA INDEX
NAME)



RN 675590-32-6 CAPLUS

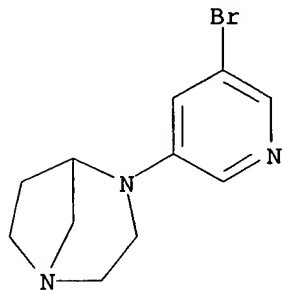
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[2-methyl-5-(trifluoromethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 675590-33-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-bromo-3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

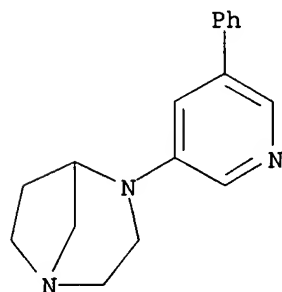
Rotation (+).



RN 675590-34-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

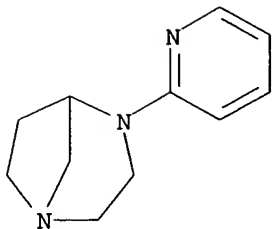
Rotation (+).



RN 675590-35-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(2-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

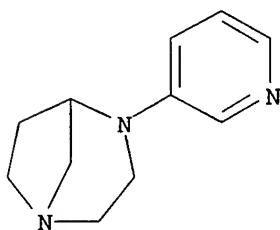
Rotation (+).



RN 675590-36-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

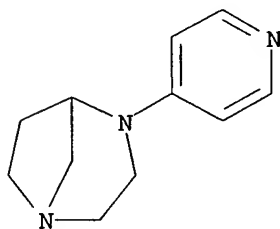
Rotation (+).



RN 675590-37-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(4-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

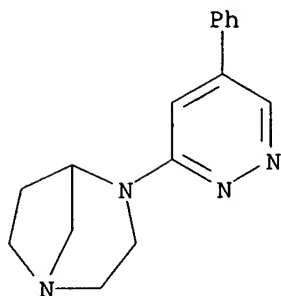
Rotation (+).



RN 675590-38-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridazinyl)-, (+)- (9CI) (CA INDEX NAME)

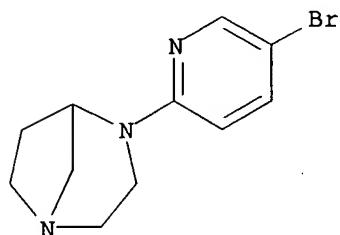
Rotation (+).



RN 675590-39-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-bromo-2-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

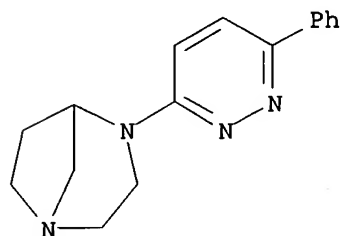
Rotation (+).



RN 675590-40-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-phenyl-3-pyridazinyl)-, (+)- (9CI) (CA INDEX NAME)

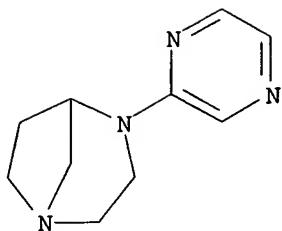
Rotation (+).



RN 675590-41-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-pyrazinyl-, (+)- (9CI) (CA INDEX NAME)

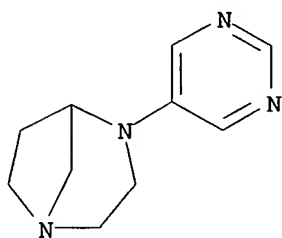
Rotation (+).



RN 675590-42-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-pyrimidinyl)-, (+)- (9CI) (CA INDEX NAME)

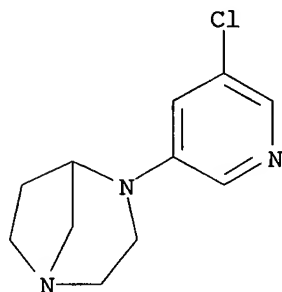
Rotation (+).



RN 675590-43-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-chloro-3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

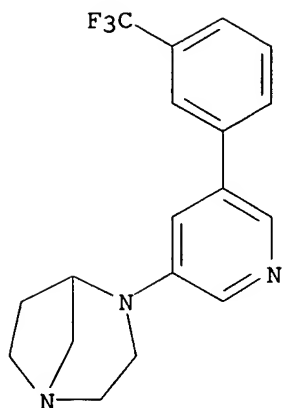
Rotation (+).



RN 675590-44-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

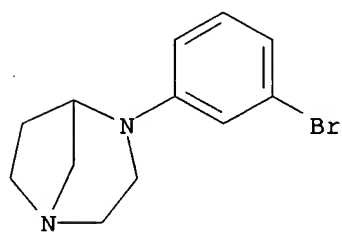
Rotation (+).



RN 675590-45-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(3-bromophenyl)-, (+)- (9CI) (CA INDEX NAME)

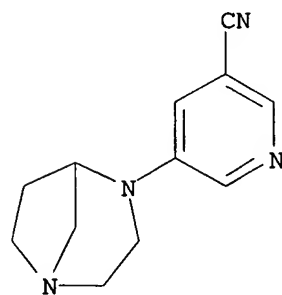
Rotation (+).



RN 675590-46-2 CAPLUS

CN 3-Pyridinecarbonitrile, 5-(1,4-diazabicyclo[3.2.1]oct-4-yl)-, (+)- (9CI) (CA INDEX NAME)

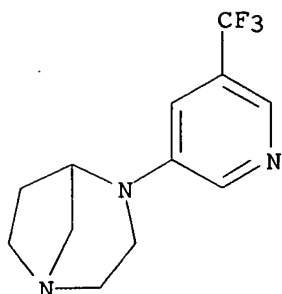
Rotation (+).



RN 675590-47-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(trifluoromethyl)-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

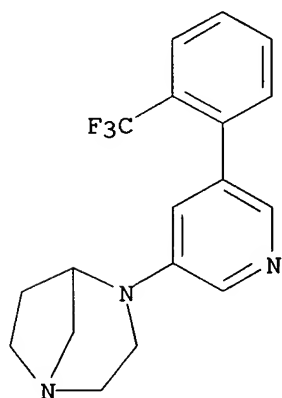


Selected Species.

RN 675590-48-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

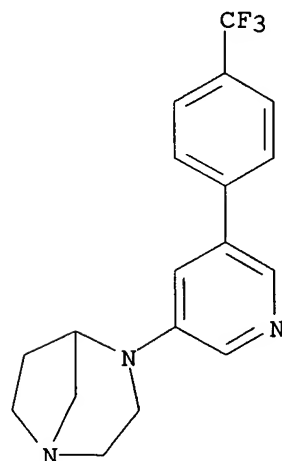
Rotation (+).



RN 675590-49-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

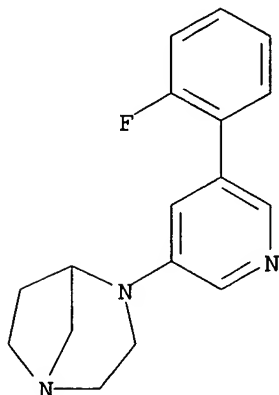
Rotation (+).



RN 675590-50-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

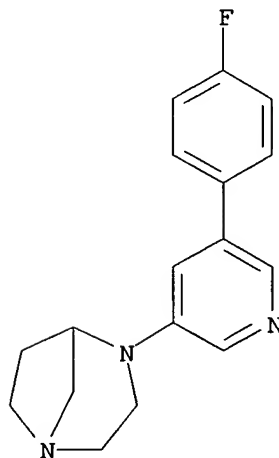
Rotation (+).



RN 675590-51-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

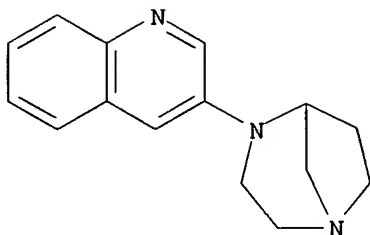
Rotation (+).



RN 675590-53-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(3-quinolinyl)-, (+)-(9CI) (CA INDEX NAME)

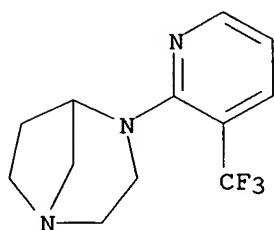
Rotation (+).



RN 675590-55-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3-(trifluoromethyl)-2-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

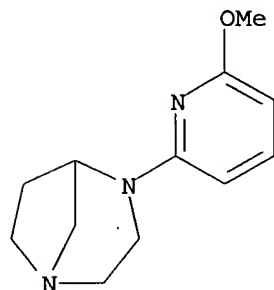
Rotation (+).



RN 675590-57-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-methoxy-2-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

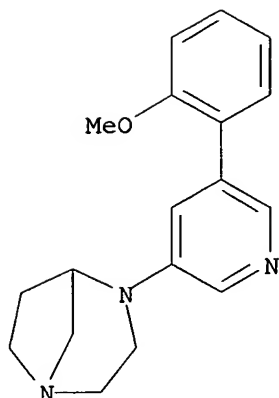
Rotation (+).



RN 675590-58-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

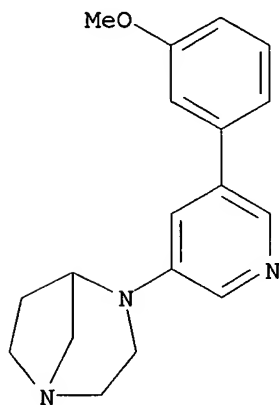
Rotation (+).



RN 675590-59-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

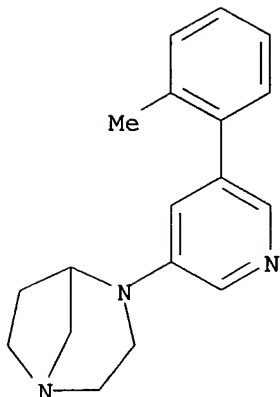
Rotation (+).



RN 675590-60-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

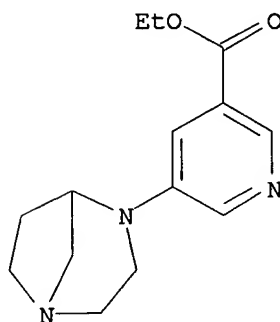
Rotation (+).



RN 675590-61-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(1,4-diazabicyclo[3.2.1]oct-4-yl)-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

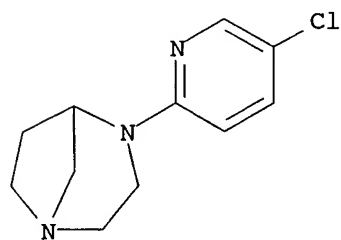
Rotation (+).



RN 675590-62-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-chloro-2-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

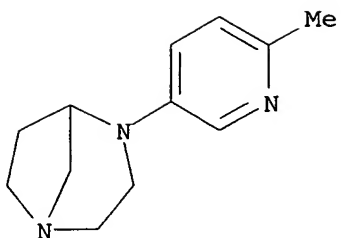
Rotation (+).



RN 675590-63-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-methyl-3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

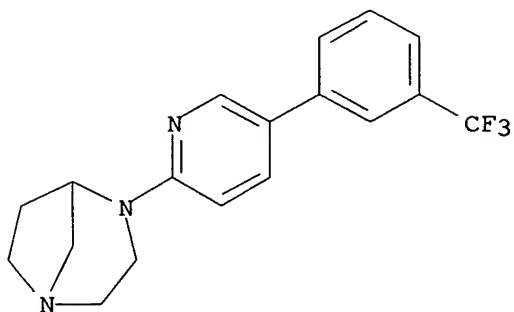
Rotation (+).



RN 675590-64-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

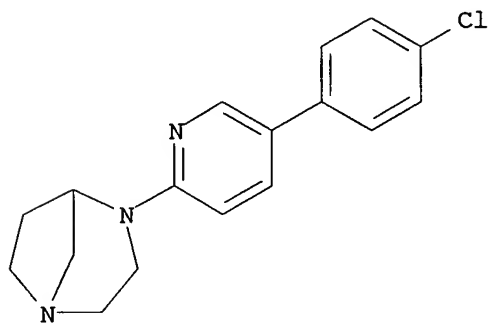
Rotation (+).



RN 675590-65-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

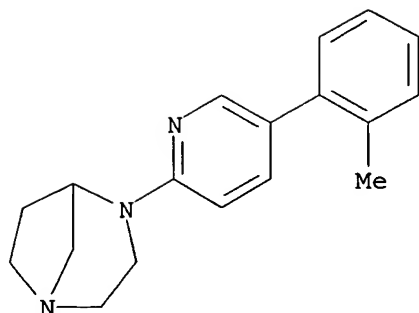
Rotation (+).



RN 675590-66-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

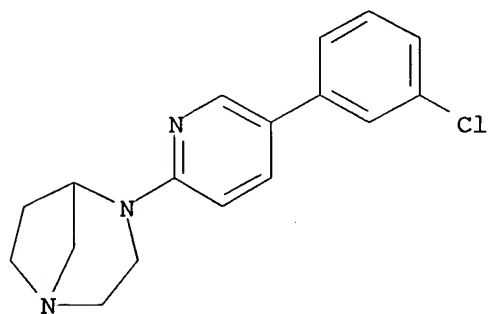
Rotation (+).



RN 675590-67-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

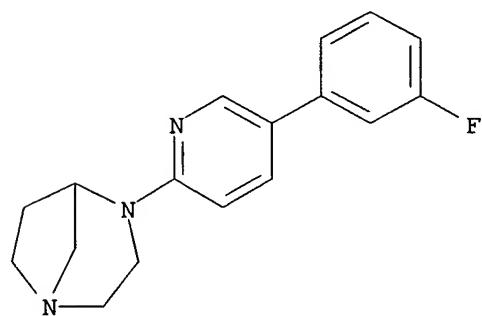
Rotation (+).



RN 675590-68-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

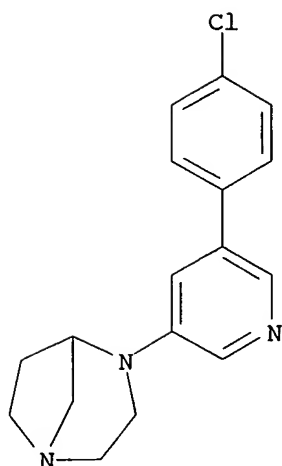
Rotation (+).



RN 675590-69-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

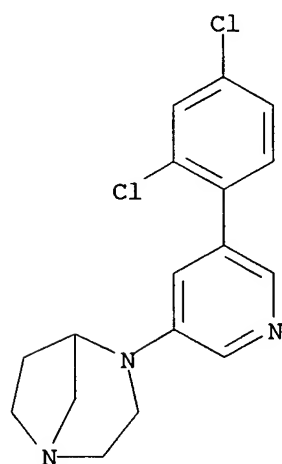
Rotation (+).



RN 675590-70-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

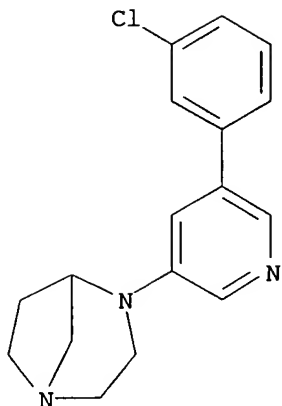
Rotation (+).



RN 675590-71-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

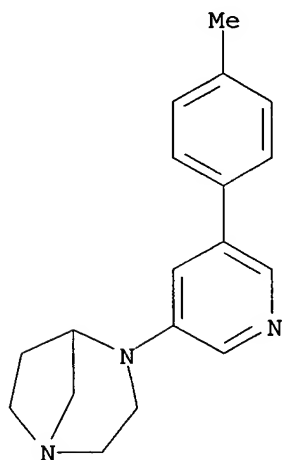
Rotation (+).



RN 675590-72-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

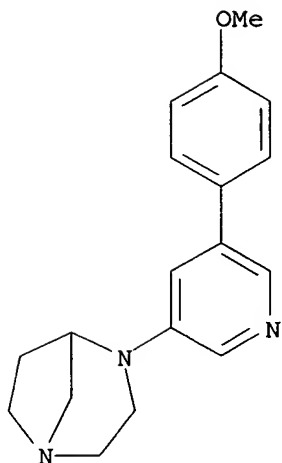
Rotation (+).



RN 675590-73-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

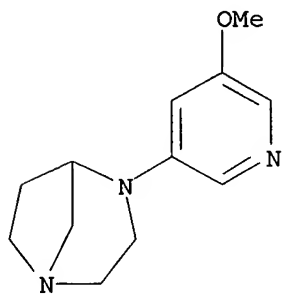
Rotation (+).



RN 675590-74-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-methoxy-3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

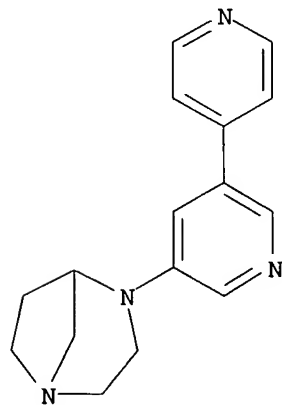
Rotation (+).



RN 675590-75-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3,4'-bipyridin]-5-yl-, (+)- (9CI) (CA INDEX NAME)

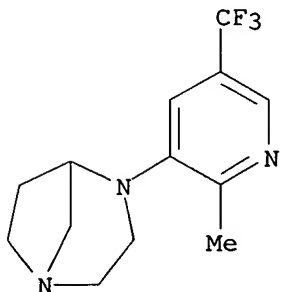
Rotation (+).



RN 675590-76-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[2-methyl-5-(trifluoromethyl)-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

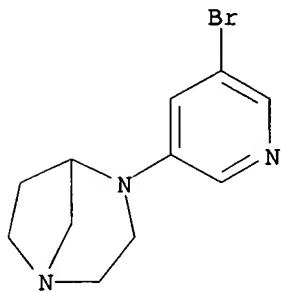
Rotation (+).



RN 675590-77-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-bromo-3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

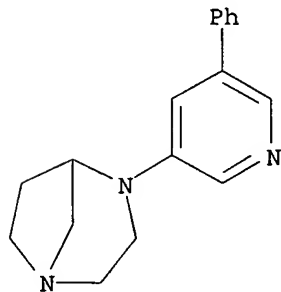
Rotation (-).



RN 675590-78-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

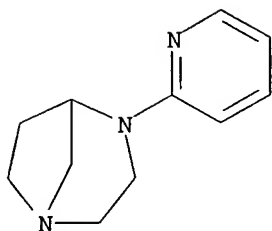
Rotation (-).



RN 675590-81-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(2-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

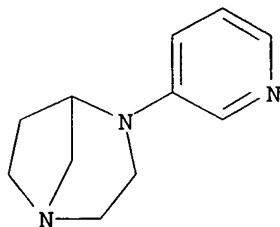
Rotation (-).



RN 675590-82-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

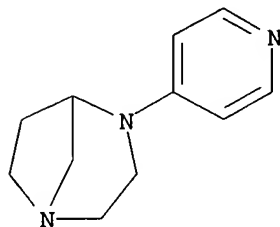
Rotation (-).



RN 675590-83-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(4-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

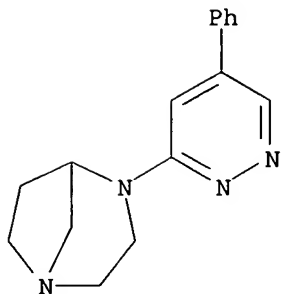
Rotation (-).



RN 675590-84-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridazinyl)-, (-)- (9CI) (CA INDEX NAME)

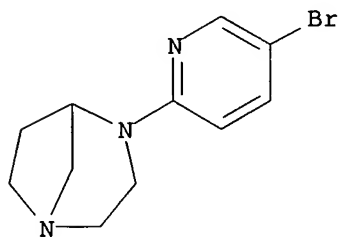
Rotation (-).



RN 675590-85-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-bromo-2-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

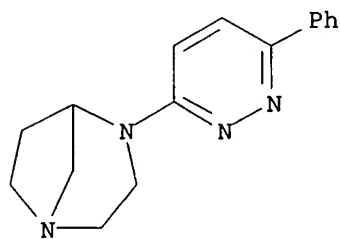
Rotation (-).



RN 675590-86-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-phenyl-3-pyridazinyl)-, (-)- (9CI) (CA INDEX NAME)

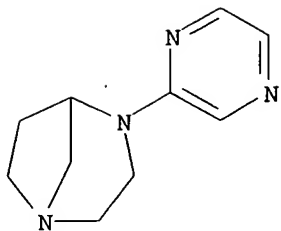
Rotation (-).



RN 675590-87-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-pyrazinyl-, (-)- (9CI) (CA INDEX NAME)

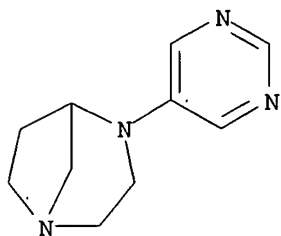
Rotation (-).



RN 675590-88-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-pyrimidinyl)-, (-)- (9CI) (CA INDEX NAME)

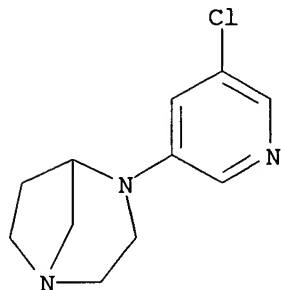
Rotation (-).



RN 675590-89-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-chloro-3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

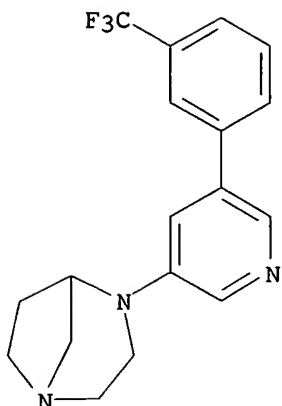
Rotation (-).



RN 675590-90-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

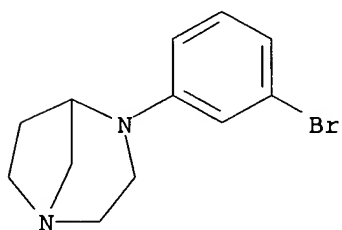
Rotation (-).



RN 675590-91-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(3-bromophenyl)-, (-)- (9CI) (CA INDEX NAME)

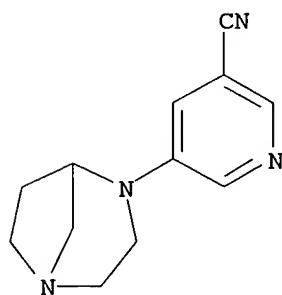
Rotation (-).



RN 675590-92-8 CAPLUS

CN 3-Pyridinecarbonitrile, 5-(1,4-diazabicyclo[3.2.1]oct-4-yl)-, (-)- (9CI) (CA INDEX NAME)

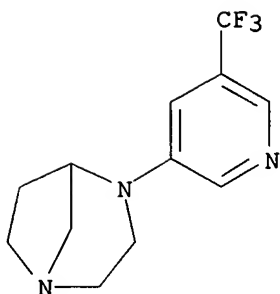
Rotation (-).



RN 675590-93-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(trifluoromethyl)-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

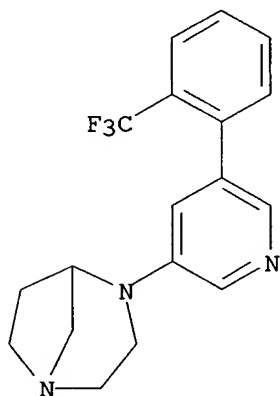
Rotation (-).



RN 675590-94-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

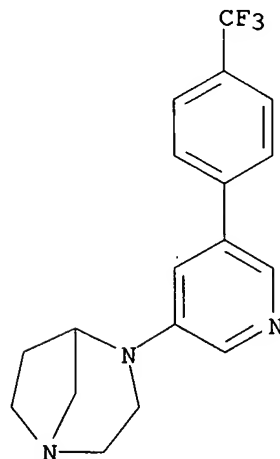
Rotation (-).



RN 675590-95-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

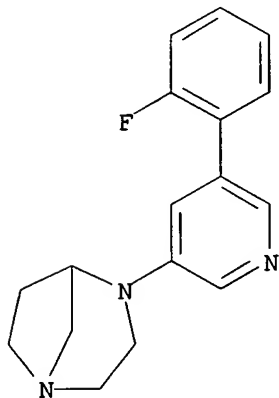
Rotation (-).



RN 675590-96-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

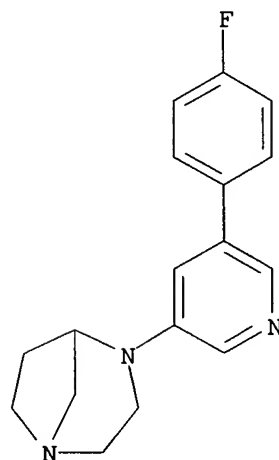
Rotation (-).



RN 675590-97-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

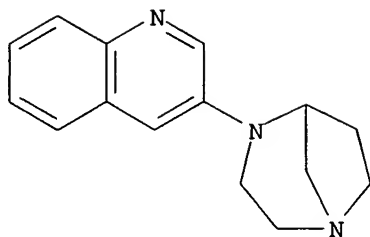
Rotation (-).



RN 675590-98-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(3-quinolinyl)-, (-)-(9CI) (CA INDEX NAME)

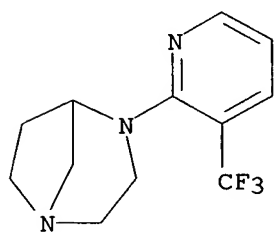
Rotation (-).



RN 675590-99-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3-(trifluoromethyl)-2-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

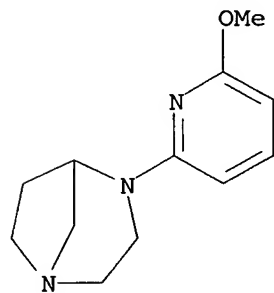
Rotation (-).



RN 675591-00-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-methoxy-2-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

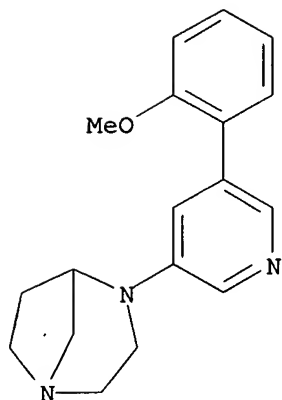
Rotation (-).



RN 675591-01-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

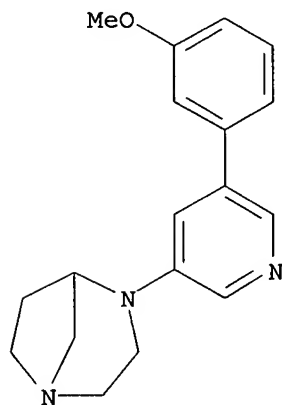
Rotation (-).



RN 675591-02-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

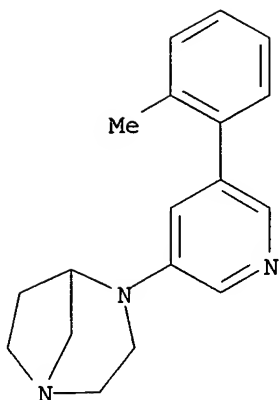
Rotation (-).



RN 675591-03-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

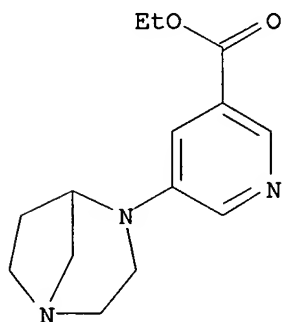
Rotation (-).



RN 675591-04-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(1,4-diazabicyclo[3.2.1]oct-4-yl)-, ethyl ester, (-)- (9CI) (CA INDEX NAME)

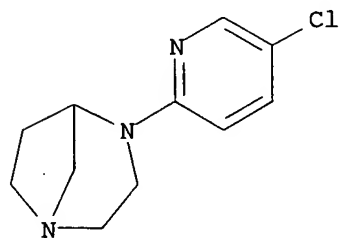
Rotation (-).



RN 675591-05-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-chloro-2-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

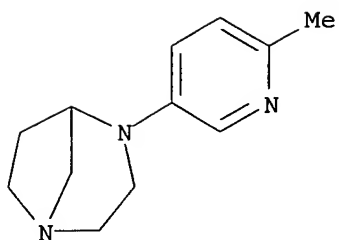
Rotation (-).



RN 675591-06-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-methyl-3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

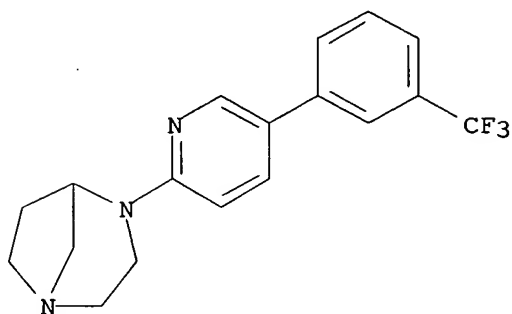
Rotation (-).



RN 675591-07-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

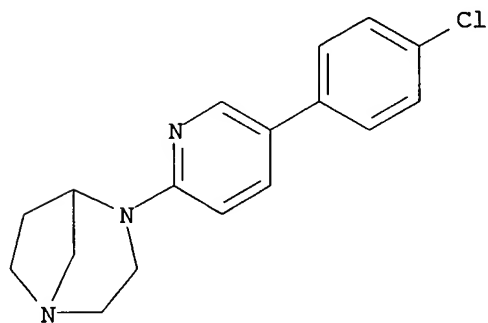
Rotation (-).



RN 675591-08-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

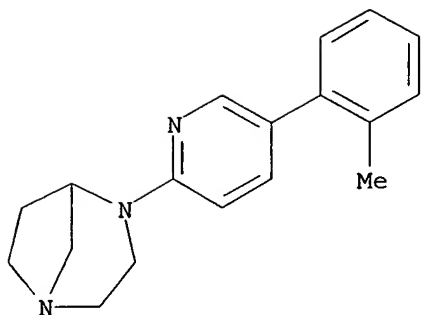
Rotation (-).



RN 675591-09-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

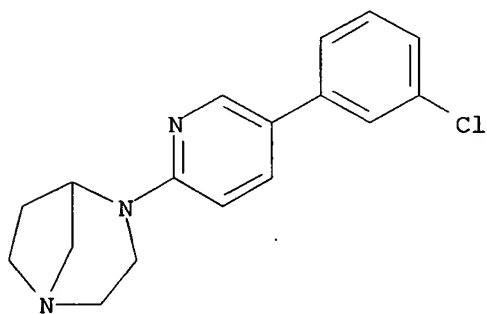
Rotation (-).



RN 675591-10-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

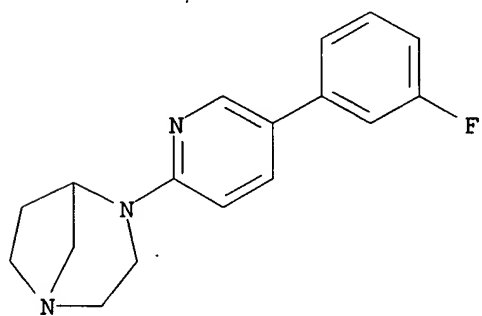
Rotation (-).



RN 675591-11-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

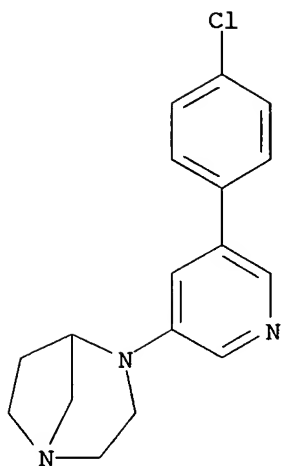
Rotation (-).



RN 675591-12-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

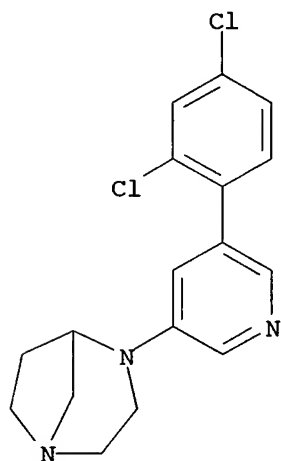
Rotation (-).



RN 675591-13-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

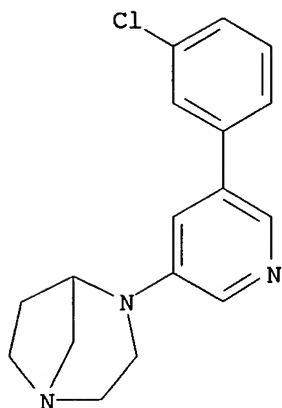
Rotation (-).



RN 675591-14-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

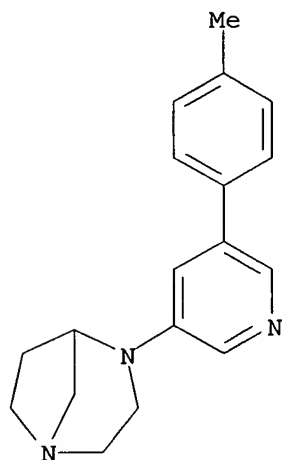
Rotation (-).



RN 675591-15-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

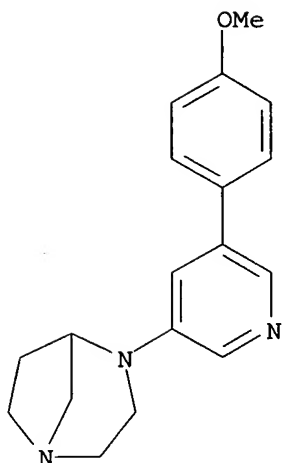
Rotation (-).



RN 675591-16-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

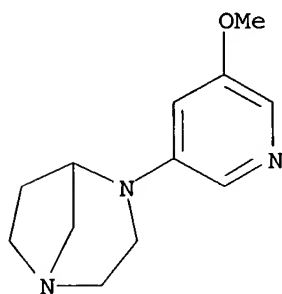
Rotation (-).



RN 675591-17-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-methoxy-3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

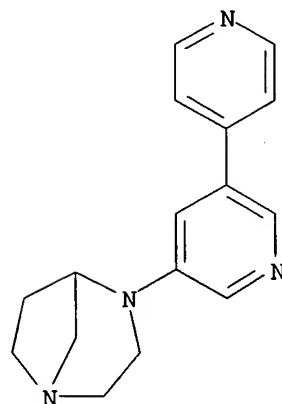
Rotation (-).



RN 675591-18-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3,4'-bipyridin]-5-yl-, (-)- (9CI) (CA INDEX NAME)

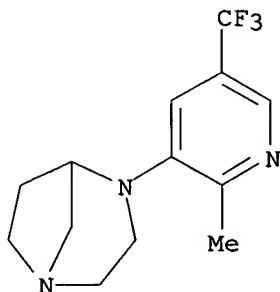
Rotation (-).



RN 675591-19-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[2-methyl-5-(trifluoromethyl)-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:391532 CAPLUS

DN 136:401789

TI Preparation of benzimidazole derivatives as nociceptin receptor antagonists

IN Okamoto, Osamu; Kawamoto, Hiroshi; Kobayashi, Kensuke; Itoh, Satoru; Kato, Tetsuya; Yamamoto, Izumi; Iwasawa, Yoshikazu

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 227 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002040019	A1	20020523	WO 2001-JP9956	20011114
	WO 2002040019	C1	20020620		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2428787	AA	20020523	CA 2001-2428787	20011114
	AU 2002024038	A5	20020527	AU 2002-24038	20011114
	EP 1342717	A1	20030910	EP 2001-996381	20011114
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	US 2004044056	A1	20040304	US 2003-416790	20030515
	US 6969712	B2	20051129		
PRAI	JP 2000-348064	A	20001115		
	WO 2001-JP9956	W	20011114		

OS MARPAT 136:401789

AB Comps. represented by the general formula [I; wherein A1 and A2 are each optionally fluorinated methine or N; B is halogeno, cyano, lower alkylcarbonyl, lower alkylsulfonyl, mono or di(lower alkyl)sulfamoyl, optionally fluorine-substituted lower alkyl or alkoxy; D is an optionally substituted heterocyclic group; and G is a C3-20 aliphatic group such as an alicyclic group] or pharmacol. acceptable salts thereof are prepared These comps. inhibit nociceptin by virtue of their high affinity for nociceptin receptor, and are therefore useful as analgesics, antiobesity agents, cerebral function improvers, drugs for treatment of Alzheimer's disease and dementia, remedies for schizophrenia and neurodegenerative diseases such as Parkinson's disease and Huntington chorea, antidepressants, remedies for diabetes insipidus, polyuria, and hypotension. Thus, 6-chloro-4-fluoro-5-[4-(2-hydroxyethyl)piperazin-1-yl]-1,3-dihydro-2H-benzimidazole-2-thione (preparation given) was stirred with 1-methylcyclopropanol in CF₃CO₂H for 3 days to give 5-chloro-7-fluoro-6-[4-(2-hydroxyethyl)piperazin-1-yl]-2-[(1-methylcyclopentyl)thio]benzimidazole (II). II and 5-chloro-2-[(1-ethylpropyl)thio]-6-(piperazin-1-yl)benzimidazole dihydrochloride (III) in vitro inhibited the binding of [125I]Tyr14-nociceptin to nociceptin receptor with IC₅₀ of 0.95 and 2.1 nM, resp. Pharmaceutical formulations, e.g. a tablet containing III, were also prepared

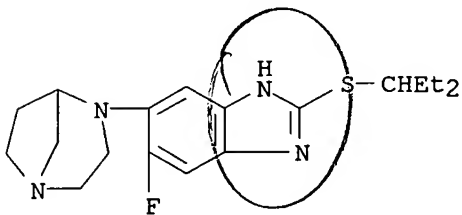
IT 428868-91-1P 428870-55-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. as nociceptin receptor antagonists for treatment of diseases)

RN 428868-91-1 CAPLUS

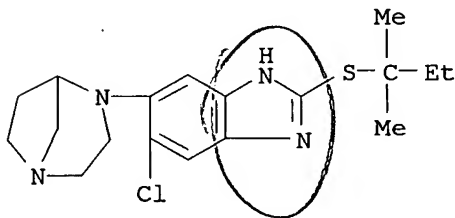
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[2-[(1-ethylpropyl)thio]-6-fluoro-1H-benzimidazol-5-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 428870-55-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[6-chloro-2-[(1,1-dimethylpropyl)thio]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



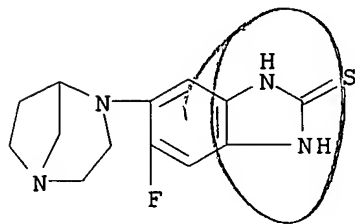
IT 428870-65-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole derivs. as nociceptin receptor antagonists for treatment of diseases)

RN 428870-65-9 CAPLUS

CN 2H-Benzimidazole-2-thione, 5-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,3-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:597964 CAPLUS

DN 135:180773

TI Preparation of oxoquinolinecarboxylic acid, oxonaphthyridinecarboxylic acid, and pyridobenzoxazinecarboxylic acid derivatives as antibacterial agents

IN Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiko; Namba, Kenji; Tanaka, Mayumi; Miyauchi, Rie

PA Daiichi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058876	A1	20010816	WO 2001-JP861	20010207
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2398988	AA	20010816	CA 2001-2398988	20010207
	AU 2001032238	A5	20010820	AU 2001-32238	20010207
	EP 1262477	A1	20021204	EP 2001-904335	20010207
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003119848	A1	20030626	US 2002-203199	20020807
	NO 2002003764	A	20021009	NO 2002-3764	20020808
PRAI	JP 2000-38099	A	20000209		
	WO 2001-JP861	W	20010207		

OS MARPAT 135:180773

AB The title compds. I [R1 = alkyl, etc.; R2 = H, alkylthio; further details on R1 and R2 are given; R3 = H, alkoxy, etc.; A1 = N, etc.; A2, A3 = N, C; further details on A1, A2, A3 are given; X1 = halo, etc.; Y = H, Ph, etc.; Z = heterocyclic substituent; further details on said heterocyclic substituent are given] are prepared I show excellent antibacterial activity (against M. tuberculosis and atypical acid-fast bacteria), favorable kinetics in vivo and high safety. Several compds. of this invention in vitro show MICs of 0.78 µg/mL to 3.13 µg/mL against rifampicin-resistant M. tuberculosis, vs. MIC of 25 µg/mL shown by ofloxacin. Formulations are given.

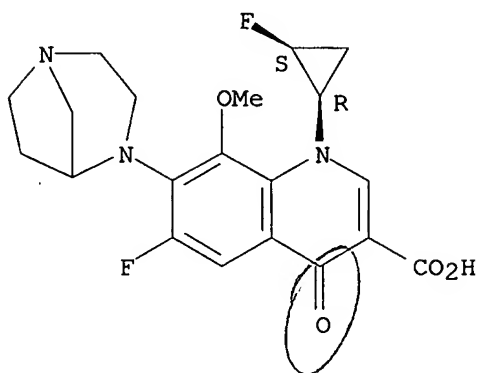
IT 354812-31-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxoquinolinecarboxylic acid, oxonaphthyridinecarboxylic acid, and pyridobenzoxazinecarboxylic acid derivs. as antibacterial agents)

RN 354812-31-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1999:549274 CAPLUS
 DN 131:170364
 TI Preparation of sulfonanilide 5-HT6 receptor antagonists
 IN Bromidge, Steven Mark; Serafinowska, Halina Teresa
 PA Smithkline Beecham PLC, UK
 SO PCT Int. Appl., 24 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9942465	A2	19990826	WO 1999-EP1013	19990212
	WO 9942465	A3	19990930		
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2321278	AA	19990826	CA 1999-2321278	19990212
	EP 1066288	A2	20010110	EP 1999-910228	19990212
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2002504484	T2	20020212	JP 2000-532417	19990212
PRAI	GB 1998-3411	A	19980218		
	WO 1999-EP1013	W	19990212		

OS MARPAT 131:170364

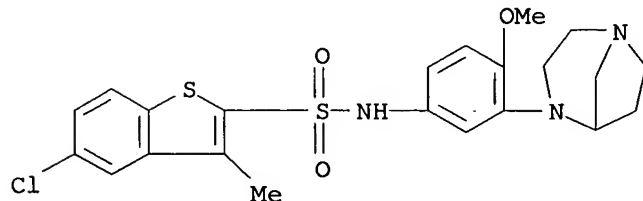
AB RZ1Z2Z3R4 [R = (un)substituted phenylene, -heterocyclylene, etc.; R4 = (un)substituted N-attached diazabicycloalkyl; Z1 = bond or alk(en)ylene; Z2 = SO₂NH or NHSO₂; Z3 = (un)substituted 1,3-phenylene] were prepared as 5-HT6 receptor antagonists (no data). Thus, 2-methoxy-5-nitroaniline was N-alkylated by 2-bromomethylpiperidine and the product N-alkylated by BrCH₂CO₂Et to give, after cyclization and 2 reduction steps, 4-methoxy-3-octahydropyrido[1,2-a]pyrazin-2-ylaniline which was amidated by 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride to give title compound I.

IT 239122-31-7P

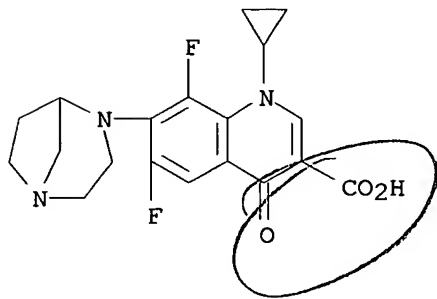
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of sulfonanilide 5-HT6 receptor antagonists)

RN 239122-31-7 CAPLUS

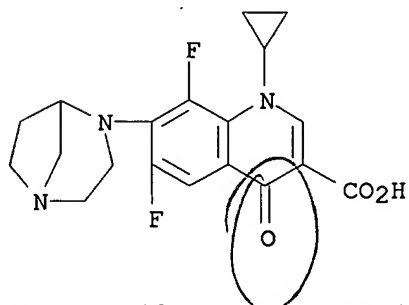
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(1,4-diazabicyclo[3.2.1]oct-4-yl)-4-methoxyphenyl]-3-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1998:409426 CAPLUS
 DN 129:117473
 TI Activity of new quinolones against intracellular Mycobacterium avium in human monocytes. [Erratum to document cited in CA128:212700]
 AU Venkataprasad, Nandagopal; Jacobs, Michael R.; Johnson, John L.; Klopman, Gilles; Ellner, Jerrold J.
 CS Division of Infectious Diseases, Case Western Reserve University, OH, 44106, USA
 SO Journal of Antimicrobial Chemotherapy (1998), 41(6), 674
 CODEN: JACHDX; ISSN: 0305-7453
 PB Oxford University Press
 DT Journal
 LA English
 AB The ciprofloxacin MICs for strain PI 112/39 for inocula of 103, 104, and 105 were incorrectly reproduced in Table I; the corrected table is given.
 IT **100936-74-1**, PD 119421
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (activity of new quinolones against intracellular Mycobacterium avium in human monocytes (Erratum))
 RN 100936-74-1 CAPLUS
 CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1998:34271 CAPLUS
 DN 128:212700
 TI Activity of new quinolones against intracellular Mycobacterium avium in human monocytes
 AU Venkataprasad, Nandagopal; Jacobs, Michael R.; Johnson, John L.; Klopman, Gilles; Ellner, Jerrold J.
 CS Division of Infectious Diseases, Case Western Reserve University, OH, 44106, USA
 SO Journal of Antimicrobial Chemotherapy (1997), 40(6), 841-845
 CODEN: JACHDX; ISSN: 0305-7453
 PB Oxford University Press
 DT Journal
 LA English
 AB The ability to inhibit the in-vitro growth of mycobacteria within human monocytes is a useful screening assay for novel chemotherapeutic agents. In this study the MICs of a panel of new quinolones were determined by the broth microdilution method for two strains of Mycobacterium avium. Sixteen such compds. with MIC90s ranging from 2 to >32 mg/L were subsequently selected for the 7 day monocyte assay using ciprofloxacin for comparison. The degree of inhibition of intracellular growth correlated with the MICs. PD 139586, PD 143289, PD 135144, PD 119421 and PD 131575 were the most active new agents with activities superior to those of ciprofloxacin and sparfloxacin.
 IT **100936-74-1**, PD 119421
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (activity of new quinolones against intracellular Mycobacterium avium in human monocytes)
 RN 100936-74-1 CAPLUS
 CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1996:660546 CAPLUS

DN 125:322777

TI N-1-tert-butyl-substituted quinolones: in vitro anti-Myobacterium avium activities and structure-activity relationship studies

AU Klopman, Gilles; Fercu, Dan; Renau, Thomas E.; Jacobs, Michael R.

CS Dep. Chem., Cast Western Reserve Univ., Cleveland, OH, 44106, USA

SO Antimicrobial Agents and Chemotherapy (1996), 40(11), 2637-2643

CODEN: AMACCQ; ISSN: 0066-4804

PB American Society for Microbiology

DT Journal

LA English

AB The MICs of 63 quinolones were determined against 14 selected reference and clin.

strains of the M. avium-Myobacterium intracellulare complex. Sixty-one of the compds. were selected from the quinolone library at Parke-Davis, Ann Arbor, Michigan, including N-1-tert-butyl-substituted agents. T 3761 and tosulfloxacin were also tested. The activities of all 63 compds. were compared with those of ciprofloxacin and sparfloxacin. The results showed 45 of the quinolones to be active against the M. avium-M. intracellulare complex, with MICs at which 50% of the strains were inhibited (MIC50s) of <32 µg/mL. Twenty-four of these quinolones had activities equivalent to or greater than that of ciprofloxacin, and 9 had activities equivalent to or greater than that of sparfloxacin. The most active compds. were the N-1-tert-butyl-substituted quinolones, PD 161315 and PD 161314, with MIC50s of 0.25 µg/mL and MIC90s of 1 µg/mL; comparable values for ciprofloxacin were 2 and 4 µg/mL, resp., while for sparfloxacin they were 1 and 2 µg/mL, resp. The next most active compds., with MIC50s of 0.5 µg/mL and MIC90s of 1 µg/mL, were the N-1-cyclopropyl-substituted quinolones PD 138926 and PD 158804. These values show that the tert-Bu substituent is at least as good as cyclopropyl in rendering high levels of antimycobacterial activity. However, none of the quinolones showed activity against ciprofloxacin-resistant laboratory-derived

M.

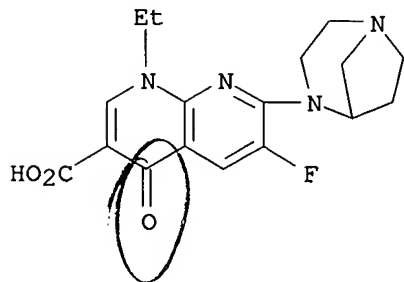
avium-M. intracellulare complex strains. A MULTICASE program-based structure-activity relationship anal. of the inhibitory activities of these 63 quinolones and 109 quinolones previously studied against the most resistant clin. strain of M. avium was also performed and led to the identification of 2 major biophores and 2 biophobes.

IT 100936-72-9, PD 121054

RL: MSC (Miscellaneous)
(preparation of)

RN 100936-72-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:38543 CAPLUS

DN 122:156098

TI In vitro anti-Mycobacterium avium activities of quinolones: predicted active structures and mechanistic considerations

AU Klopman, Gilles; Li, Ju-Yun; Wang, Shaomeng; Pearson, Anthony J.; Chang, Kieyoung; Jacobs, Michael R.; Bajaksouzian, Saralee; Ellner, Jerrold J.

CS Chem. Dept., Case Western Res. Univ., Cleveland, OH, 44106, USA

SO Antimicrobial Agents and Chemotherapy (1994), 38(8), 1794-1802

CODEN: AMACCQ; ISSN: 0066-4804

DT Journal

LA English

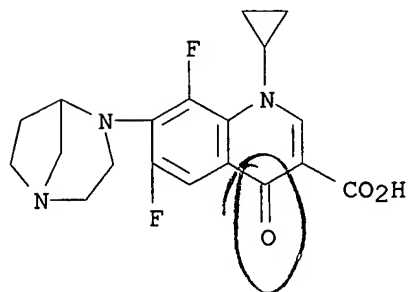
AB The relation between the structures of quinolones and their anti-M. avium activities has been previously derived by using the Multiple Computer-Automated Structure Evaluation program. A number of substructural constraints required to overcome the resistance of most of the strains have been identified. Nineteen new quinolones which qualify under these substructural requirements were identified by the program and subsequently tested. The substructural attributes identified by the program produced a successful a priori prediction of the anti-M. avium activities of the new quinolones. All 19 quinolones were active, and 4 of them are as active or better than ciprofloxacin. With these new quinolones, the updated multiple computer-automated structure evaluation program structure-activity relationship anal. has helped to uncover addnl. information about the nature of the substituents at the C5 and C7 positions needed for optimal inhibitory activity. A possible explanation of drug resistance based on the observation of suicide inactivation of bacterial cytochrome P 450 by the cyclopropylamine moiety has also been proposed and is discussed in this report. The view that the amount of the uncharged form present in a neutral pH solution plays a crucial role in the drug's penetration ability was confirmed.

IT 100936-74-1, PD 119421

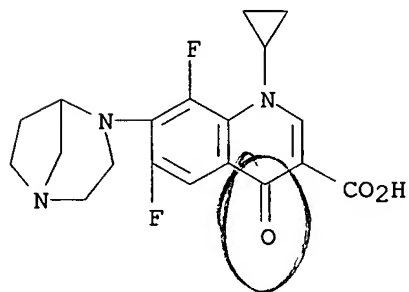
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(anti-Mycobacterium activity of)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:2483 CAPLUS
DN 123:164953
TI Anti-mycobacterium avium activity of quinolones: in vitro activities.
[Erratum to document cited in CA120:27300f]
AU Klopman, Gilles; Wang, Shaomeng; Jacobs, Michael R.; Bajaksouzian,
Saralee; Edmonds, Kay; Ellner, Jerrold J.
CS Chem. Dep., Case West. Reserve Univ., Cleveland, OH, 44106, USA
SO Antimicrobial Agents and Chemotherapy (1993), 37(12), 2766
CODEN: AMACCQ; ISSN: 0066-4804
DT Journal
LA English
AB The errors were not reflected in the abstract or the index entries.
IT **100936-74-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(Mycobacterium avium sensitivity to (Erratum))
RN 100936-74-1 CAPLUS
CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-
yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:134497 CAPLUS

DN 120:134497

TI Preparation of 9-fluoro-7-oxo-7H-pyrido[1,2,3-de][1,4]benzoxazinecarboxylic acids and esters as antiviral agents

IN Schneider, Stephan; Ruppelt, Martin; Schriewer, Michael; Schulze, Thomas J.; Neumann, Rainer

PA Bayer A.-G., Germany

SO Eur. Pat. Appl., 45 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 563734	A1	19931006	EP 1993-104662	19930322
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	DE 4210941	A1	19931007	DE 1992-4210941	19920402
	NO 9301010	A	19931004	NO 1993-1010	19930319
	CA 2093108	AA	19931003	CA 1993-2093108	19930330
	ZA 9302348	A	19931015	ZA 1993-2348	19930401
	JP 06049074	A2	19940222	JP 1993-98868	19930401
	AU 9335693	A1	19931007	AU 1993-35693	19930402
	CN 1079745	A	19931222	CN 1993-104076	19930402
PRAI	DE 1992-4210941	A	19920402		

OS MARPAT 120:134497

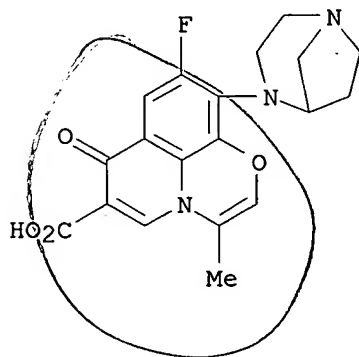
AB The title compds. I (R1 = H, C1-8 straight chain alkyl; R2 = H, formyl, Me, PhCH2, 4-ClC6H4CH2Cl, carboxy, CONH2, etc.; R3 = H, carboxy, C3-8 cycloalkyl, C1-8 straight chain alkoxy, carbonyl, etc.; R4 = halo, N-bonded imidazolyl, 1,4-diazacycloheptyl, etc.) were prepared. Thus, condensation of 9,10-difluoro-3-methyl-7-oxo-7H-pyrido[1,2,3-de][1,4]benzoxazine-6-carboxylic acid (preparation given) with 1-cyclopropylpiperazine in the presence of DABCO in DMSO gave 78% title compound I (R1 = H, R2 = Me, R3 = H, R4 = 4-cyclopropylpiperazin-1-yl) (II). IC50 (μM) for II against hepatitis B was 0.1.

IT 152900-88-4P

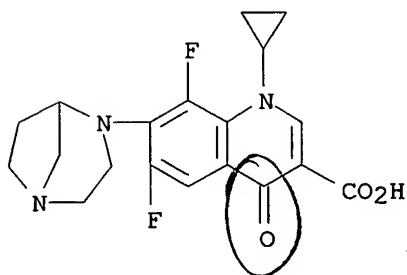
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as virucide)

RN 152900-88-4 CAPLUS

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
10-(1,4-diazabicyclo[3.2.1]oct-4-yl)-9-fluoro-3-methyl-7-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1994:27300 CAPLUS
 DN 120:27300
 TI Anti-mycobacterium avium activity of quinolones: in vitro activities
 AU Klopman, Gilles; Wang, Shaomeng; Jacobs, Michael R.; Bajaksouzian, Saralee; Edmonds, Kay; Ellner, Jerrold J.
 CS Chem. Dep., Case West. Reserve Univ., Cleveland, OH, 44106, USA
 SO Antimicrobial Agents and Chemotherapy (1993), 37(9), 1799-806
 CODEN: AMACCQ; ISSN: 0066-4804
 DT Journal
 LA English
 AB The MICs of 88 quinolones against 14 selected reference and clin. strains of Mycobacterium avium-M. intracellulare complex were determined. Agents tested included ciprofloxacin, sparfloxacin (PD 131501), and 86 other exptl. quinolones. Test strains were selected to represent various susceptibilities to ciprofloxacin and other drug resistance profiles. MICs were determined by the microdilution method in 7HSF broth, with incubation for 14 days at 35°. The results showed 25 of the quinolones to be active against the strains, with MICs for 90% of the strains (MIC90s) of 2 to 32 µg/mL. Ten of these compds. had activities equivalent to or greater than that of ciprofloxacin. The most active compound was PD 125354, with an MIC50 of 0.5 µg/mL and an MIC90 of 2 µg/mL; comparable values for ciprofloxacin were 4 and 8 µg/mL, resp. The next most active compds., with MIC90s of 4 µg/mL, were sparfloxacin (PD 131501), PD 123982, PD 135144, and PD 119421. MIC90s of PD 131575, PD 126889, PD 122642, PD 139586, and PD 143289 were 8 µg/mL. Further evaluation of the most active agents is warranted, as is assessment of structure-activity relationships of active and inactive agents to elucidate the active portions of the compds. and to lead to the development of compds. with enhanced activity.
 IT **100936-74-1**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (Mycobacterium avium sensitivity to)
 RN 100936-74-1 CAPLUS
 CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1993:539131 CAPLUS
 DN 119:139131
 TI Preparation of N-cyclopropylquinolonecarboxylates as antibacterial agents
 IN Hayakawa, Isao; Kimura, Youichi; Takahashi, Hisashi
 PA Daiichi Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 42 pp.
 CODEN: PIXXD2

DT Patent
 LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9221659	A1	19921210	WO 1992-JP687	19920527
	W: AU, CA, FI, JP, KR, NO, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 9218872	A1	19930108	AU 1992-18872	19920527
	AU 661999	B2	19950817		
	EP 593766	A1	19940427	EP 1992-910698	19920527
	EP 593766	B1	20000906		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	AT 196135	E	20000915	AT 1992-910698	19920527
	ES 2151488	T3	20010101	ES 1992-910698	19920527
	CA 2110260	C	20011204	CA 1992-2110260	19920527
	JP 05163244	A2	19930629	JP 1992-136504	19920528
	JP 3215500	B2	20011009		
	FI 109201	B1	20020614	FI 1993-5243	19931125
	NO 9304279	A	19940128	NO 1993-4279	19931126
	NO 180780	B	19970310		
	NO 180780	C	19970618		
	RU 2100351	C1	19971227	RU 1993-58417	19931126
	US 5696132	A	19971209	US 1994-142444	19940126
	GR 3034966	T3	20010228	GR 2000-402669	20001130
PRAI	JP 1991-225425	A	19910528		
	WO 1992-JP687	A	19920527		

OS MARPAT 119:139131

AB The title compds. [I; R1 = Me, Et, Pr, iso-Pr, FCH₂, F₂CH; R₂ = (un)substituted saturated N-containing heterocyclyl; A = CX₃; X₃ = H, halo, cyano,

CF₃, C1-6 alkyl or alkyloxy; X₁, X₂ = halo; Z = phenylalkyl, H, Ph, AcOCH₂, pivaloyloxymethyl, CO₂Et, 5-indanyl, C1-6 alkyl, C2-7 alkyloxymethyl, etc.] are prepared Thus, a mixture of 100 mg 6,7,8-trifluoro-1-[(1R,2S)-2-fluorocyclopropyl]-5-methyl-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (preparation given), 120 mg (S)-3-(tert-butoxycarbonylamino)pyrrolidine, and 3 mL DMSO was heated at 100-120° for 1 h with stirring to give, after deprotection with CF₃CO₂H and crystallization from EtOH and aqueous NH₃, a title compound (II).

II

inhibited 13 bacteria, e.g., Escherichia coli NJHJ, Pseudomonas aeruginosa 32121, Staphylococcus aureus 209p, and Streptococcus faecalis, with MIC of 0.006, 0.025, 0.025, and 0.1 µg/mL, resp.. A total of 12 I were prepared

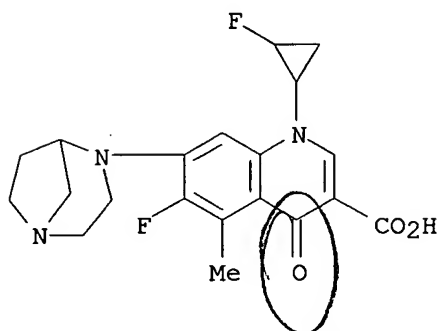
IT **149326-78-3P 149326-79-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antibacterial agent)

RN 149326-78-3 CAPLUS

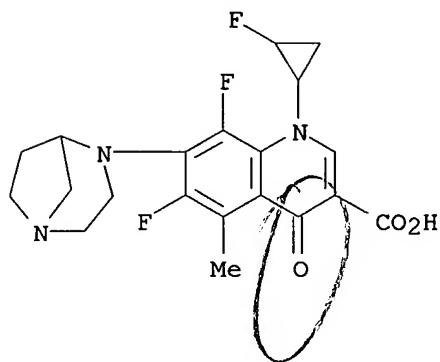
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1-

(2-fluorocyclopropyl)-1,4-dihydro-5-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 149326-79-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-5-methyl-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1992:128900 CAPLUS

DN 116:128900

TI Preparation of benzo[b][1,6]naphthyridine and pyrido[2,3-b][1,6]naphthyridine derivatives as antibacterial agents

IN Nakano, Junji; Shibamori, Koichiro; Minamida, Akira; Hirose, Toru; Matsumoto, Junichi; Nakamura, Shinichi

PA Dainippon Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03223283	A2	19911002	JP 1990-228767	19900829
PRAI	JP 1989-223655	A1	19890830		
	JP 1989-330056	A1	19891219		

OS MARPAT 116:128900

AB Tricyclic compds. [I; X1 = halo; A = N, CX2; X2 = H, halo, cyano, alkyloxy; R1 = (cyclo)alkyl, haloalkyl, alkenyl, (un)substituted Ph; R2 = H, alkyl; R3 = halo, (un)substituted NH2] are prepared Thus, a mixture of Et 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate 5.0, Zn powder 2.32, and BrCH₂CO₂Et 6 g in THF was refluxed for 4 h to give 6.4 g Et 1-cyclopropyl-2-ethoxycarbonyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate (II). To a mixture of 8.1 g II, 200 mL 28% aqueous NH₃, and 100 mL EtOH, NH₃ (g) was introduced at room temperature and the

mixture was sealed and stirred at room temperature for 1 day to give 3.8 g I (X1 = R3 = F, A = CF, R1 = cyclopropyl, R2 = H). I (X1 = F, A = CH, R1 = iso-Pr, R2 = H, R3 = 3-aminopyrrolidin-1-yl) showed min. inhibitory concentration of 0.0125

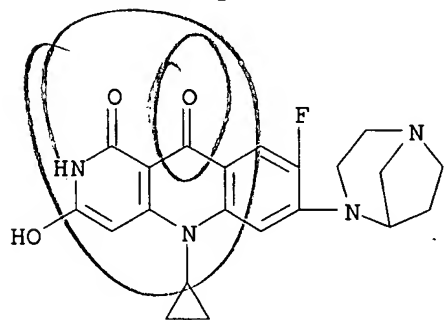
and 0.39 µg/mL against Staphylococcus aureus and Pseudomonas aeruginosa, resp. A total of 75 I were prepared

IT 139295-49-1P

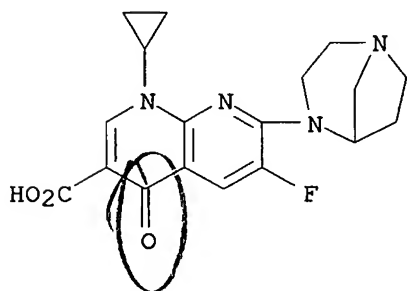
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as medical bactericide)

RN 139295-49-1 CAPLUS

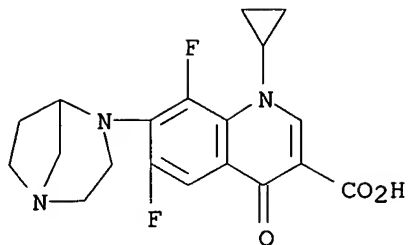
CN Benzo[b][1,6]naphthyridine-3,10(2H,5H)-dione, 5-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-8-fluoro-1-hydroxy- (9CI) (CA INDEX NAME)



L8 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1991:143090 CAPLUS
 DN 114:143090
 TI Quinolone antibacterials: preparation and activity of bridged bicyclic analogues of the C7-piperazine
 AU Kiely, John S.; Hutt, Marland P.; Culbertson, Townley P.; Bucsh, Ruth A.; Worth, Donald F.; Lesheski, Lawrence E.; Gogliotti, Rocco D.; Sesnie, Josephine C.; Solomon, Marjorie; Mich, Thomas F.
 CS Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
 SO Journal of Medicinal Chemistry (1991), 34(2), 656-63
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 OS CASREACT 114:143090
 AB A series of quinolone and naphthyridine antibacterial agents possessing as the C7-heterocycle bicyclic 2,5-diazabicyclo[n.2.m]alkanes, where n = 2, 3 and m = 1, 2, and a series including 4-aminopiperidine and 3-amino-8-azabicyclo[3.2.1]octanes have been prepared and evaluated in vitro and in vivo for antibacterial activity against a variety of Gram-neg. and Gram-pos. organisms. These compds. were also tested against the target enzyme bacterial DNA gyrase. All the examples investigated are nearly equipotent with the parent 7-piperazinyl analogs. Only endo-7-(3-amino-8-azabicyclo[3.2.1]oct-8-yl)-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (I) displays activity that surpasses that of the piperazine parent.
 IT **100936-71-8P 100936-74-1P 108437-39-4P**
111453-70-4P 119354-59-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, gyrase inhibition by, and bactericidal activity of)
 RN 100936-71-8 CAPLUS
 CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

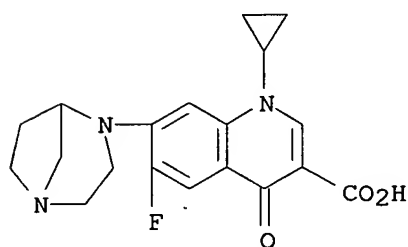


RN 100936-74-1 CAPLUS
 CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



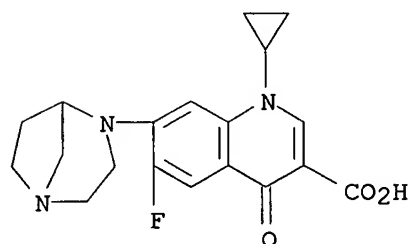
RN 108437-39-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 111453-70-4 CAPLUS

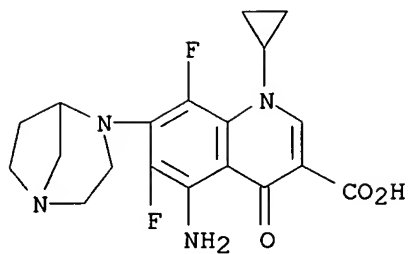
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 119354-59-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1989:114697 CAPLUS
 DN 110:114697
 TI Preparation of 5-substituted quinolone- and naphthyridonecarboxylic acids
 as antibacterial agents
 IN Petersen, Uwe; Grohe, Klaus; Schriewer, Michael; Schenke, Thomas; Haller,
 Ingo; Metzger, Karl; Endermann, Rainer; Zeiler, Hans Joachim
 PA Bayer A.-G., Fed. Rep. Ger.
 SO Ger. Offen., 32 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3711193	A1	19881013	DE 1987-3711193	19870402
	NO 8801121	A	19881003	NO 1988-1121	19880314
	EP 284935	A1	19881005	EP 1988-104452	19880321
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	AU 8813811	A1	19881006	AU 1988-13811	19880328
	DD 274029	A5	19891206	DD 1988-314159	19880329
	DK 8801802	A	19881003	DK 1988-1802	19880330
	FI 8801501	A	19881003	FI 1988-1501	19880330
	CN 88101741	A	19881116	CN 1988-101741	19880331
	ZA 8802318	A	19881228	ZA 1988-2318	19880331
	JP 63258855	A2	19881026	JP 1988-78298	19880401
	HU 47098	A2	19890130	HU 1988-1619	19880401
	HU 201050	B	19900928		
PRAI	DE 1987-3711193	A	19870402		

OS CASREACT 110:114697; MARPAT 110:114697

AB The title compds. [I; A = N, CR9; R1 = Me, Et, cyclopropyl, etc.; R2 = H, alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R3 = Me, 13 N-attached heterocyclyl; R9 = H, halo, Me, cyano, NO2; R1R9 = OCH2CHMe, SCH2CHMe, CH2CH2CHMe] were prepared C6F5COCH2CO2Et (preparation given) was refluxed 2 h with HC(OEt)3 in Ac2O to give C6F5COC(CO2Et):CHOEt which was treated overnight with cyclopropylamine in EtOH to give C6F5COC(CO2Et):CHNHR (R = cyclopropyl). The latter was refluxed 3 h in DMF containing NaF to give, after saponification, quinolonecarboxylate II (R3 = Y = F) which was refluxed

3 h with 1-methylpiperazine in MeCN/DMF containing Dabco to give II (R3 = 4-methyl-1-piperazinyl, Y = F) (III). Tablets were prepared each containing

III 583.0, cellulose 55.0, starch 72.0, polyvinylpyrrolidone 30.0, SiO2 5.0, and Mg stearate 5.0 mg with a coating comprising (hydroxypropyl)methylcellulose 6.0, Macroglol 40,000 2.0, and TiO2 2.0 mg. II (R3 = 3-methyl-1-piperazinyl, Y = NH2) had a min. inhibitory concentration

of 0.5 (units not given) against Escherichia coli 455/7.

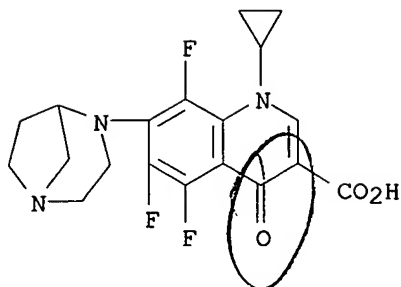
IT 119354-04-0P 119354-05-1P 119354-06-2P

119354-32-4P 119354-33-5P 119354-59-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antibacterial agent)

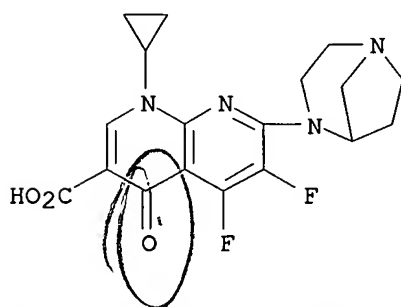
RN 119354-04-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-5,6,8-trifluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



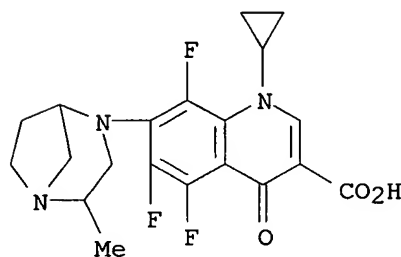
RN 119354-05-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-5,6-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



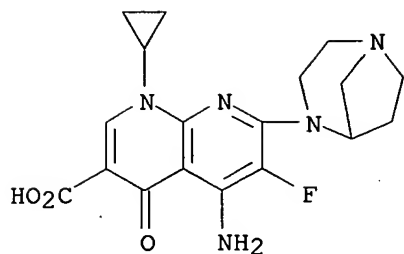
RN 119354-06-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-5,6,8-trifluoro-1,4-dihydro-7-(2-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo- (9CI) (CA INDEX NAME)



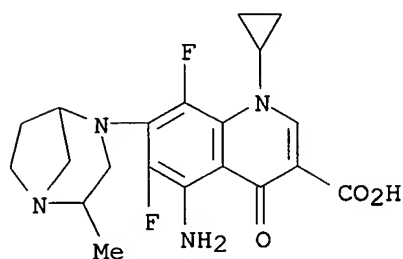
RN 119354-32-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 5-amino-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



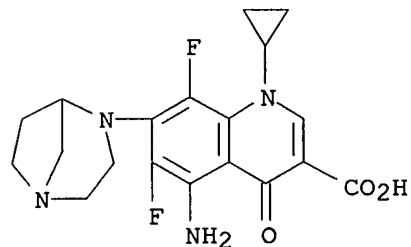
RN 119354-33-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,8-difluoro-1,4-dihydro-7-(2-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo- (9CI) (CA INDEX NAME)



RN 119354-59-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1989:57646 CAPLUS
 DN 110:57646
 TI Antibacterial naphthyridine- and quinolonecarboxylic acid derivatives
 IN Weber, Abraham; Bouzard, Daniel; Essiz, Munir; Di Cesare, Pierre; Jacquet, Jean Pierre; Remuzon, Phillippe
 PA Bristol-Myers Co., USA
 SO PCT Int. Appl., 100 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8802627	A1	19880421	WO 1987-US2556	19871008
	W: AU, DK, FI, HU, JP, KR, NO, RO, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	ZA 8707471	A	19880525	ZA 1987-7471	19871005
	DD 266354	A5	19890329	DD 1987-307706	19871006
	DD 280530	A5	19900711	DD 1987-327989	19871006
	AU 8781581	A1	19880506	AU 1987-81581	19871008
	AU 611400	B2	19910613		
	EP 288519	A1	19881102	EP 1987-907178	19871008
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	HU 52500	A2	19900728	HU 1986-56	19871008
	HU 203753	B	19910930		
	DK 8803555	A	19880823	DK 1988-3555	19880628
	NO 8803077	A	19880822	NO 1988-3077	19880708
	FI 8803894	A	19880823	FI 1988-3894	19880823
	CS 270598	B2	19900712	CS 1988-7400	19881110
	AU 9176326	A1	19910808	AU 1991-76326	19910501
PRAI	US 1986-916752	A2	19861008		
	CS 1987-7295	A3	19871008		
	WO 1987-US2556	A	19871008		

OS MARPAT 110:57646

AB The title compds. I [X = F, Cl, Br, CF₃, CCl₃; Z = Q1, Q2, etc.; A, B, C, D, = H, (substituted) lower alkyl, NH₂, OH, F, Cl, etc.; n = 0-3; R1 = CMe₃, CMe₂CH₂Me, CPhMe₂, etc.; R2 = H, Cl-4 alkyl, alkali and alkaline earth metal ions; R3 = H, (substituted) Cl-6 alkyl, C3-6 cycloalkyl, etc.; Y = CH, CF, CCl, CBr, N], useful as antibacterials, were prepared, e.g., using amines II, III, IV, etc. Reaction of Et 1-(1,1-dimethylethyl)-1,4-dihydro-6,7,8-trifluoro-4-oxo-3-quinolinecarboxylate with piperazine in MeCN, followed by saponification and workup, gave

7-piperazinyl-1-(1,1-dimethylethyl)-

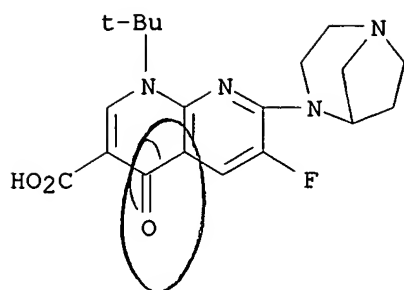
1,4-dihydro-6,8-difluoro-4-oxo-3-quinolinecarboxylic acid (V). V in vitro exhibited a MIC of 4 µg/mL against Pseudomonas aeruginosa. The corresponding MIC of norfloxacin was 0.5 µg/mL.

IT 118329-78-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as medical bactericide)

RN 118329-78-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-(1,1-dimethylethyl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1988:549373 CAPLUS
 DN 109:149373
 TI Preparation of 7-amino- or -N-heterocyclylquinol-4-one-3-carboxylates as
 antibacterial agents or immunostimulants
 IN Preiss, Michael
 PA Bayer A.-G., Fed. Rep. Ger.
 SO Ger. Offen., 13 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3641312	A1	19880609	DE 1986-3641312	19861203
	NO 8704788	A	19880606	NO 1987-4788	19871117
	NO 174199	B	19931220		
	NO 174199	C	19940406		
	EP 274033	A1	19880713	EP 1987-117130	19871120
	EP 274033	B1	19920311		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 73446	E	19920315	AT 1987-117130	19871120
	ES 2038156	T3	19930716	ES 1987-117130	19871120
	IL 84627	A1	19920115	IL 1987-84627	19871127
	CS 270577	B2	19900712	CS 1987-8688	19871130
	FI 8705289	A	19880604	FI 1987-5289	19871201
	JP 63145268	A2	19880617	JP 1987-301624	19871201
	DD 270904	A5	19890816	DD 1987-309727	19871201
	DK 8706331	A	19880604	DK 1987-6331	19871202
	DK 174929	B1	20040301		
	CN 87107230	A	19880706	CN 1987-107230	19871202
	ZA 8709040	A	19880727	ZA 1987-9040	19871202
	HU 45521	A2	19880728	HU 1987-5424	19871202
	HU 199823	B	19900328		
	SU 1482526	A3	19890523	SU 1987-4203762	19871202
	PL 158614	B1	19920930	PL 1987-269185	19871202
	KR 9705191	B1	19970414	KR 1987-13716	19871202
	AU 8782177	A1	19880609	AU 1987-82177	19871203
	AU 593961	B2	19900222		
PRAI	DE 1986-3641312	A	19861203		
	EP 1987-117130	A	19871120		

OS MARPAT 109:149373

AB The title compds. [I; A = N, CR6; R1 = cyclopropyl, Me, Et, etc.; R2 =
 cyano, CO2R, dialkylcarbamoyl; R = H, alkyl, (5-methyl-2-oxo-1,3-dioxol-4-
 yl)methyl; R6 = H, halo, Me, NO2; X = halo, NO2, alkylsulfonyl,
 alkylsulfonyloxy; Y = R3; R3 = (un)substituted NH2, 7 specific and 4
 general N-heterocyclyl] were prepared as antibacterial agents and
 immunostimulants (no data). 5,2,3,4-ClF3C6HCOCH2CO2Et (preparation given) was
 heated with HC(OEt)3 in Ac2O at 150-160° for 2 h to give
 5,2,3,4-ClF3C6HCOC(:CHOEt)CO2Et which was stirred 2 h with
 cyclopropylamine in EtOH to give 5,2,3,4-ClF3C6HCOC(:CHR5)CO2Et (R5 =
 cyclopropylamino). The latter was heated 2 h at 160-170° in DMF
 containing NaF to give, after ester hydrolysis, quinolonecarboxylate II (R4 =
 Y = F). II (R4 = H, Y = F) and piperazine were heated at 150-160°
 for 30 min to give 98% II (R4 = H, Y = 1-piperazinyl).

IT 100936-74-1P 111453-57-7P 111453-60-2P
 111453-69-1P 116572-58-8P 116572-59-9P
 116572-60-2P 116572-61-3P 116572-62-4P

116572-63-5P 116572-64-6P 116572-65-7P

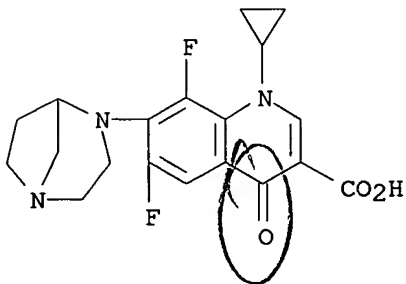
116572-66-8P 116607-46-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as antibacterial and immunostimulant)

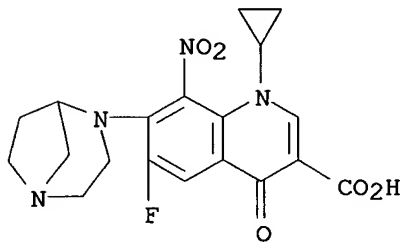
RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



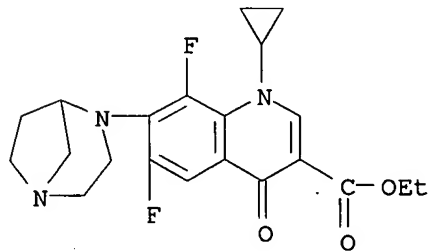
RN 111453-57-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-8-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 111453-60-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



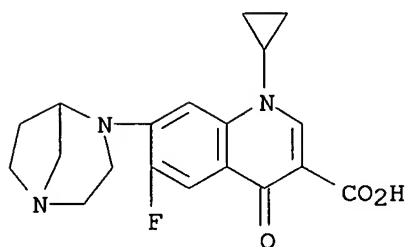
RN 111453-69-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, 4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate] (2:1) (9CI) (CA INDEX NAME)

CM 1

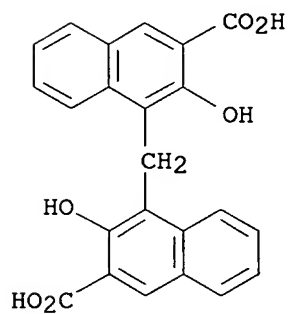
10/657,738

CRN 108437-39-4
CMF C19 H20 F N3 O3

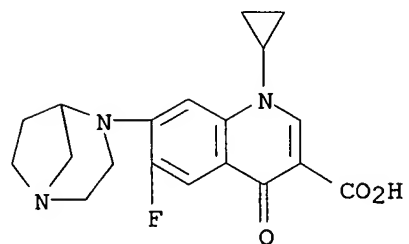


CM 2

CRN 130-85-8
CMF C23 H16 O6



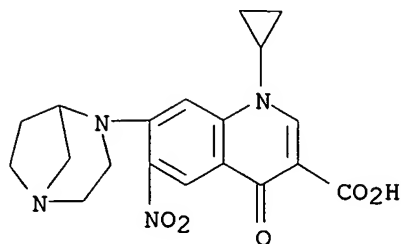
RN 116572-58-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 116572-59-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-

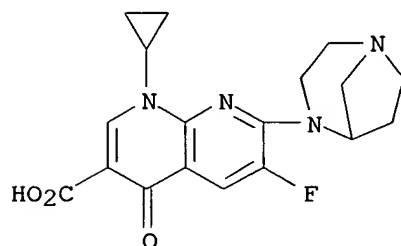
yl)-1,4-dihydro-6-nitro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 116572-60-2 CAPLUS

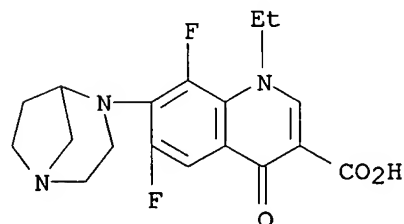
CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 116572-61-3 CAPLUS

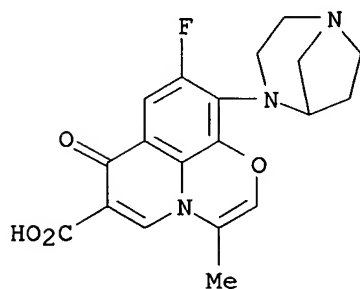
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 116572-62-4 CAPLUS

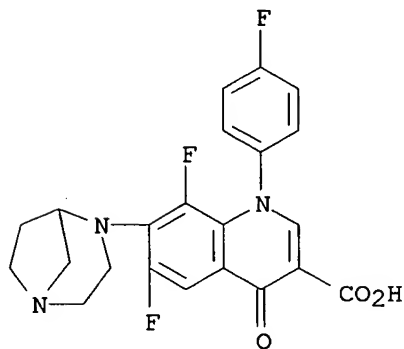
CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
10-(1,4-diazabicyclo[3.2.1]oct-4-yl)-9-fluoro-3-methyl-7-oxo-,
hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 116572-63-5 CAPLUS

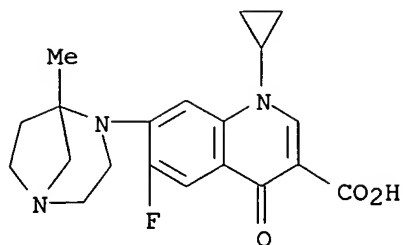
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-
difluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA
INDEX NAME)



●x HCl

RN 116572-64-6 CAPLUS

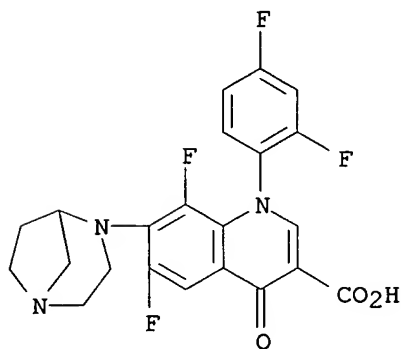
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-(5-methyl-
1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo-, hydrochloride (9CI) (CA INDEX
NAME)



●x HCl

RN 116572-65-7 CAPLUS

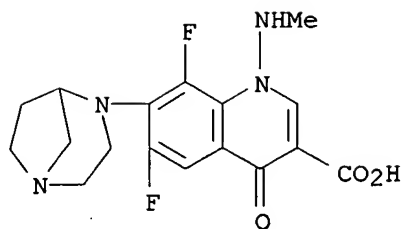
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-(2,4-difluorophenyl)-6,8-difluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

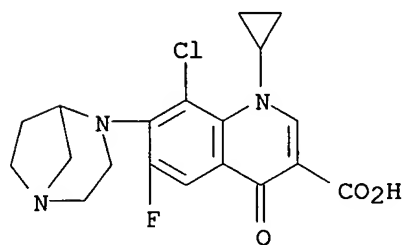
RN 116572-66-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1-(methyamino)-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 116607-46-6 CAPLUS
 CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, hydrochloride
 (9CI) (CA INDEX NAME)



●x HCl

L8 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1988:167325 CAPLUS

DN 108:167325

TI A process for the preparation of 7-(substituted amino)-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acids as medicinal bactericides

PA Warner-Lambert Co., USA

SO Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62167769	A2	19870724	JP 1987-3428	19870112
	US 4772706	A	19880920	US 1986-818450	19860113
	ZA 8609689	A	19880831	ZA 1986-9689	19861223
	AU 8666954	A1	19870716	AU 1986-66954	19861224
	AU 587885	B2	19890831		
	IL 81144	A1	19901223	IL 1987-81144	19870101
	CA 1283658	A1	19910430	CA 1987-526641	19870105
	DK 8700096	A	19870714	DK 1987-96	19870109
	FI 8700086	A	19870714	FI 1987-86	19870109
	FI 88614	B	19930226		
	FI 88614	C	19930610		
	NO 8700109	A	19870714	NO 1987-109	19870112
	NO 175366	B	19940627		
	NO 175366	C	19941005		
	EP 236673	A2	19870916	EP 1987-100257	19870112
	EP 236673	A3	19880831		
	EP 236673	B1	19940713		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	HU 44513	A2	19880328	HU 1987-94	19870112
	HU 197324	B	19890328		
	HU 204258	B	19911230	HU 1988-433	19870112
	HU 46671	A2	19881128		
	ES 2056048	T3	19941001	ES 1987-100257	19870112
	CN 87100298	A	19870819	CN 1987-100298	19870113
	DK 9400749	A	19940623	DK 1994-749	19940623
PRAI	US 1986-818450	A	19860113		

OS CASREACT 108:167325

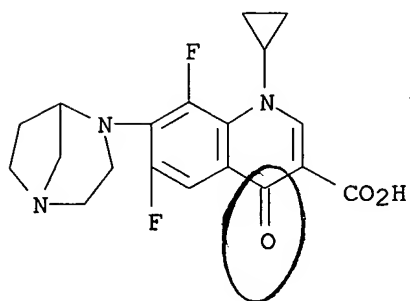
AB The title compds. (I; R1 = substituted amino; R2 = C1-3 alkyl, C3-6 cycloalkyl) and their pharmaceutically acceptable salts, useful as bactericides, are prepared from 2,3,4,5-F4C6HCOCl (II) via III and IV (R3 = CN). A mixture of 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carbonitrile and 3-(tert-butyloxycarbonylamino)pyrrolidine (preparation given) in MeCN was refluxed overnight; following addition of Et3N, the mixture was refluxed for 7 h to give 95% 7-[3-(tert-butyloxycarbonylamino)pyrrolidin-1-yl]-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxoquinoline-3-carbonitrile, which was treated with 30% HCl with heating to afford 69% I (R1 = 3-aminopyrrolidin-1-yl; R2 = cyclopropyl) (V). V in vitro showed MIC values of <0.1 µg/mL against Escherichia coli Vogel, Klebsiella pneumoniae MGH-2, Proteus rettgeri M1771, Pseudomonas aeruginosa UI-18, Staphylococcus aureus H282, Streptococcus faecalis MGH-2, etc.

IT 100936-74-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1988:94417 CAPLUS
 Correction of: 1987:407085
 DN 108:94417

Correction of: 107:7085
 TI Antibacterial, substituted (bridged-diazabicycloalkyl)quinolonecarboxylic
 acids and a process for their preparation
 IN Jefson, Martin Raymond; McGuirk, Paul Robert
 PA Pfizer Inc., USA
 SO Eur. Pat. Appl., 56 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 215650	A2	19870325	EP 1986-307045	19860912
	EP 215650	A3	19871202		
	EP 215650	B1	19920129		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	IN 166416	A	19900505	IN 1986-DE740	19860818
	US 4861779	A	19890829	US 1986-898473	19860819
	AT 72245	E	19920215	AT 1986-307045	19860912
	IL 80033	A1	19920525	IL 1986-80033	19860915
	ES 2001428	A6	19880516	ES 1986-1935	19860916
	PL 149987	B1	19900430	PL 1986-261410	19860916
	CZ 277825	B6	19930317	CZ 1986-6678	19860916
	SK 278605	B6	19971105	SK 1986-6678	19860916
	CA 1340734	A1	19990914	CA 1986-518238	19860916
	AU 8662768	A1	19870319	AU 1986-62768	19860917
	AU 576302	B2	19880818		
	FI 8603756	A	19870319	FI 1986-3756	19860917
	FI 87565	B	19921015		
	FI 87565	C	19930125		
	NO 8603718	A	19870319	NO 1986-3718	19860917
	NO 170335	B	19920629		
	NO 170335	C	19921007		
	DK 8604458	A	19870527	DK 1986-4458	19860917
	DK 171276	B1	19960819		
	CN 86106385	A	19870603	CN 1986-106385	19860917
	CN 1014789	B	19911120		
	HU 43070	A2	19870928	HU 1986-3976	19860917
	HU 200462	B	19900628		
	ZA 8607063	A	19880427	ZA 1986-7063	19860917
	DD 259190	A5	19880817	DD 1986-294486	19860917
	SU 1482531	A3	19890523	SU 1986-4028142	19860917
	JP 62103083	A2	19870513	JP 1986-220819	19860918
	JP 07098819	B4	19951025		
	US 5091383	A	19920225	US 1988-157182	19880216
PRAI	US 1985-777471	A	19850918		
	US 1986-898155	B2	19860819		
	EP 1986-307045	A	19860912		

OS CASREACT 108:94417

AB Title compds. I [R1 = H, cation, alkyl; A = CH, CF, CCl, N; Y = alkyl, haloalkyl, cyclopropyl, CH:CH₂, OMe, NHMe, C₆H₄F-4, C₆H₄OH-4, C₆H₄NH₂-4; or A = C and forms ring with Y, optionally containing O and/or substituted by Me or :CH₂; R2 = bridged diazabicycloalkyl with possible N-substitution by alkyl, alkoxycarbonyl, or alkylcarbamoyl] are prepared as antibacterials (no

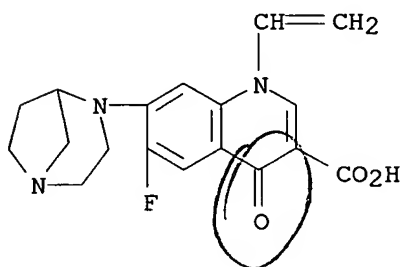
data). A mixture of 1-ethyl-6,7-difluoro-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid 11.9, 8-methyl-3,8-diazabicyclo[3.2.1]octane-2HCl 22.7, and DBU 4.6 mmol in pyridine was stirred under N at 80° for 3 h to give 65% I (R1 = H, R2 = 8-methyl-3,8-diazabicyclo[3.2.1]oct-3-yl, A = CH, Y = Et).

IT **108437-31-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antibacterial)

RN 108437-31-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-ethenyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1987:636747 CAPLUS
 DN 107:236747
 TI Preparation of 7-(azabicycloalkyl)-3-quinolinecarboxylates and
 -3-naphthyridinecarboxylates as bactericides and feed additives
 IN Petersen, Uwe; Grohe, Klaus; Schenke, Thomas; Hagemann, Hermann; Zeiler,
 Hans Joachim; Metzger, Karl Georg
 PA Bayer A.-G. , Fed. Rep. Ger.
 SO Ger. Offen., 26 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3601567	A1	19870723	DE 1986-3601567	19860121
	AU 8767463	A1	19870723	AU 1987-67463	19870109
	NO 8700126	A	19870722	NO 1987-126	19870113
	EP 230274	A2	19870729	EP 1987-100460	19870115
	EP 230274	A3	19880309		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	SU 1538897	A3	19900123	SU 1987-4028796	19870115
	FI 8700200	A	19870722	FI 1987-200	19870119
	DD 265401	A5	19890301	DD 1987-299333	19870119
	DK 8700292	A	19870722	DK 1987-292	19870120
	ZA 8700380	A	19870930	ZA 1987-380	19870120
	JP 62169789	A2	19870725	JP 1987-10113	19870121
	CN 87100354	A	19870902	CN 1987-100354	19870121
	HU 45531	A2	19880728	HU 1987-178	19870121
PRAI	DE 1986-3601567	A	19860121		

OS CASREACT 107:236747

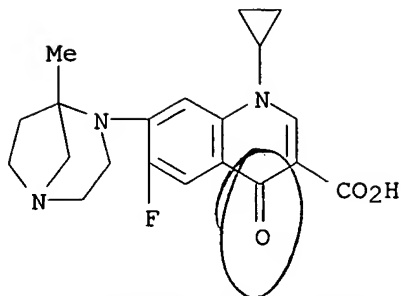
AB The title compds. [I; A = N, R4C; R1 = Me, Et, Pr, Me2CH, cyclopropyl, CH2:CH, HOCH2CH2, FCH2CH2, MeO, Ph, FC6H4, 2,4-F2C6H3, NH2, MeNH, Me2N; R2 = H, C1-4 alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R3 = Q-Q3, optionally substituted by OH, Me; R4 = H, Me, Cl, F, NO2, R1R4 = OCH2CHMe, SCH2CHMe, CH2CH2CHMe; X1 = Cl, F, NO2; Y = R5N, O, S; R5 = H, C2-4 oxoalkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl, (OH-substituted) C1-4 alkyl, alkenyl, alkynyl, (un)substituted PhCH2; Z = (CH2)n, CH2OCH2, CH2SCH2, CH2S, CH2, NR6CH2; R6 = H, Me; n = 1-3] were prepared as bactericides and feed additives. 1-Cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid and 1,4-diazabicyclo[3.2.1]octane were refluxed 6 h in MeCN/DMF in the presence of 1,4-diazabicyclo[2.2.2]octane to give, after acidification, diazabicyclooctylquinoline carboxylate II. II had a min. inhibitory concentration of 0.125 mcg/mL against Staphylococcus aureus 133 compared to 0.5 mcg/mL for ciprofloxacin. Tablets were prepared each containing II 583.0, microcryst. cellulose 55.0, cornstarch 72.0, polyvinylpyrrolidone 30.0, colloidal silica 5.0, Mg stearate 5.0, (hydroxypropyl)methylcellulose 6.0, macrogol 4000 2.0, and TiO2 2.0 mg.

IT 111453-53-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (aminolysis of, by diazabicyclooctane)

RN 111453-53-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-(5-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo- (9CI) (CA INDEX NAME)

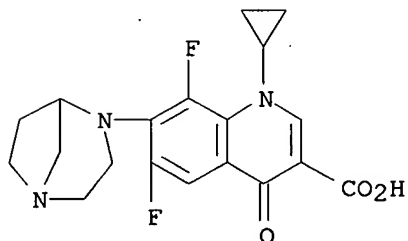


IT 100936-74-1P 108437-34-9P 108437-39-4P
 111453-57-7P 111453-58-8P 111453-59-9P
 111453-60-2P 111453-62-4P 111453-63-5P
 111453-64-6P 111453-65-7P 111453-66-8P
 111453-67-9P 111453-68-0P 111453-69-1P
 111453-70-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as bactericide)

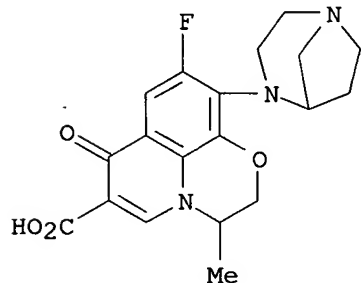
RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 108437-34-9 CAPLUS

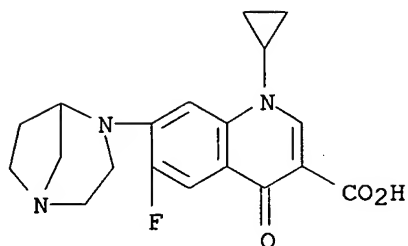
CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
 10-(1,4-diazabicyclo[3.2.1]oct-4-yl)-9-fluoro-2,3-dihydro-3-methyl-7-oxo-
 (9CI) (CA INDEX NAME)



RN 108437-39-4 CAPLUS

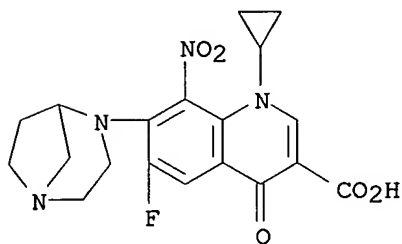
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-

yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



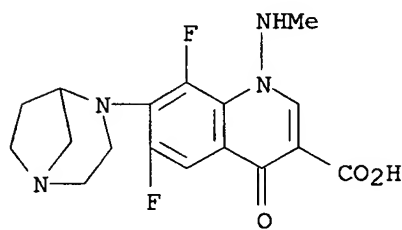
RN 111453-57-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-8-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 111453-58-8 CAPLUS

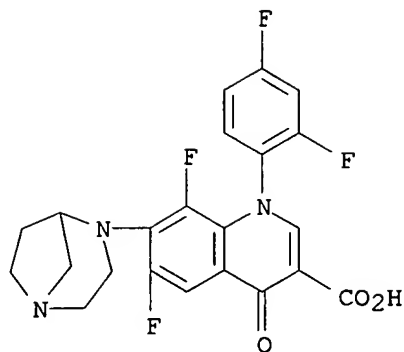
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-1-(methylamino)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



●. HCl

RN 111453-59-9 CAPLUS

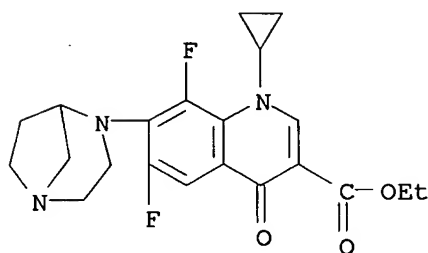
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-(2,4-difluorophenyl)-6,8-difluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

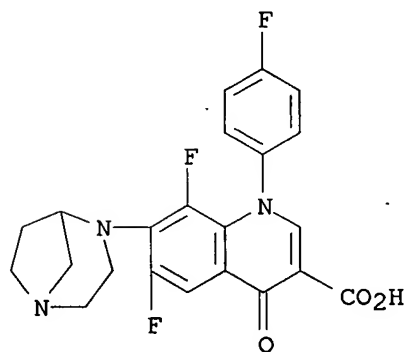
RN 111453-60-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



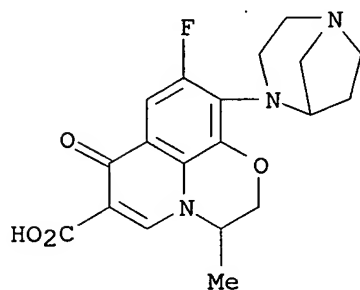
RN 111453-62-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



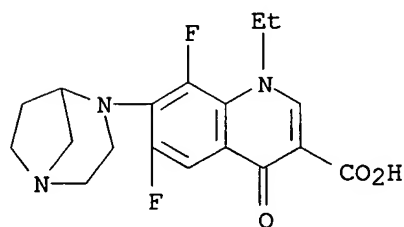
● HCl

RN 111453-63-5 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
 10-(1,4-diazabicyclo[3.2.1]oct-4-yl)-9-fluoro-2,3-dihydro-3-methyl-7-oxo-,
 monohydrochloride (9CI) (CA INDEX NAME)



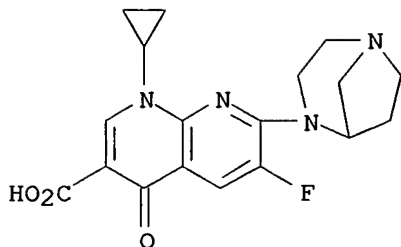
● HCl

RN 111453-64-6 CAPLUS
 CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-ethyl-
 6,8-difluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

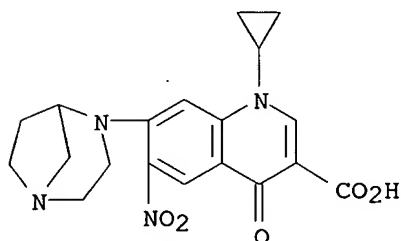
RN 111453-65-7 CAPLUS
 CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-
 diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 111453-66-8 CAPLUS

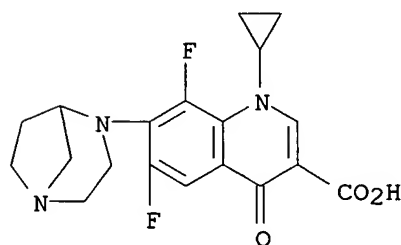
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1,4-dihydro-6-nitro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 111453-67-9 CAPLUS

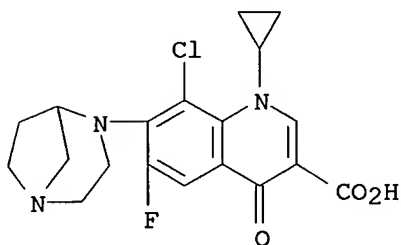
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 111453-68-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

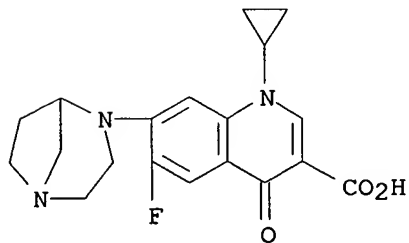
RN 111453-69-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, 4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 108437-39-4

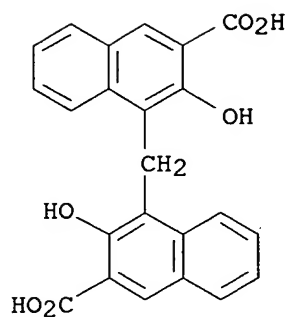
CMF C19 H20 F N3 O3



CM 2

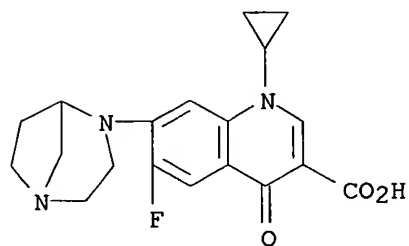
CRN 130-85-8

CMF C23 H16 O6



RN 111453-70-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L8 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1987:407085 CAPLUS
 DN 107:7085
 TI Substituted bridged-diazabicycloalkylquinolonecarboxylic acids as bactericides

IN Jefson, Martin Raymond; McGuirk, Paul Robert

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 56 pp.

CODEN: EPXXDW

DT Patent

LA English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI EP 215650 A2		19870325	EP 1986-307045	19860912
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R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

PRAI US 1985-777471 19850918

AB The title compds. (I; R1 = H, alkyl, pharmaceutically-acceptable cation; R2 = diazabicycyl; R3 = alkyl, haloalkyl, cyclopropyl, vinyl, OMe, etc.; X = CH, CF, CCl, N; R3X = atoms to complete a ring) were prepared as antibiotics (no data). Difluoroquinolone I (R1 = H, R2 = F, R3 = Et) was heated with 8-methyl-3,8-diazabicyclo[3.2.1]octane.HCl in pyridine and 1,8-diazabicyclo[5.4.0]undec-7-ene at 80° for 3 h to give 65% of quinolonecarboxylate derivative II.

IT 100936-73-0P 108437-30-5P 108437-31-6P

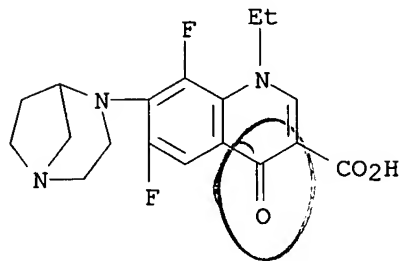
108437-32-7P 108437-33-8P 108437-34-9P

108437-38-3P 108437-39-4P 108437-43-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as bactericide)

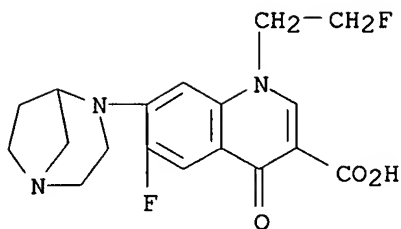
RN 100936-73-0 CAPLUS

CN 3-Quinolonecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



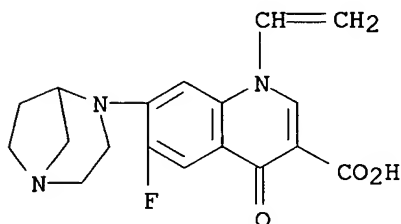
RN 108437-30-5 CAPLUS

CN 3-Quinolonecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1-(2-fluoroethyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



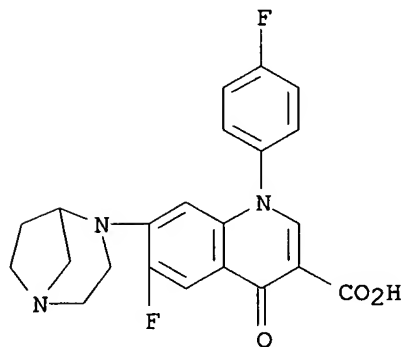
RN 108437-31-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-ethenyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



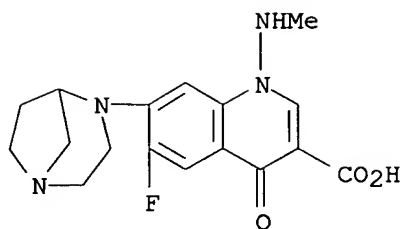
RN 108437-32-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



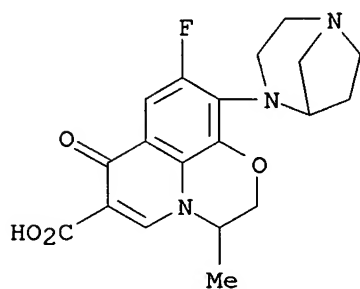
RN 108437-33-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-1-(methlamino)-4-oxo- (9CI) (CA INDEX NAME)



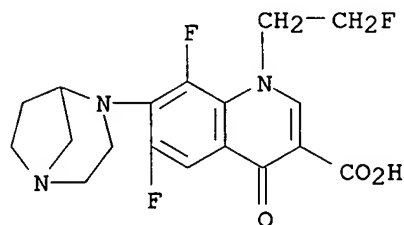
RN 108437-34-9 CAPLUS

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
10-(1,4-diazabicyclo[3.2.1]oct-4-yl)-9-fluoro-2,3-dihydro-3-methyl-7-oxo-
(9CI) (CA INDEX NAME)



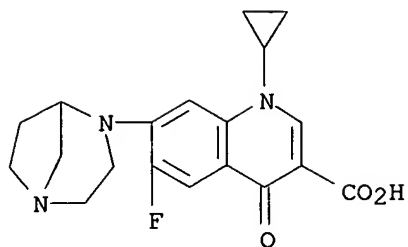
RN 108437-38-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-
difluoro-1-(2-fluoroethyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



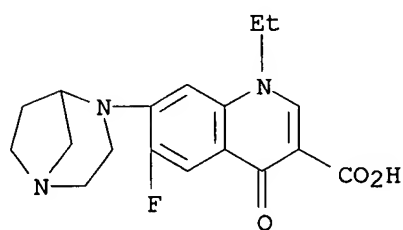
RN 108437-39-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-
yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 108437-43-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN .
 AN 1986:442669 CAPLUS
 DN 105:42669
 TI Quinoline-3-carboxylic acid antibacterial agents
 IN Domagala, John M.; Schroeder, Mel C.
 PA Warner-Lambert Co., USA
 SO U.S., 7 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4578473	A	19860325	US 1985-723019	19850415
	IL 78275	A1	19890910	IL 1986-78275	19860326
	CA 1277661	A1	19901211	CA 1986-505198	19860326
	ZA 8602384	A	19871125	ZA 1986-2384	19860401
	AU 8655674	A1	19861023	AU 1986-55674	19860404
	AU 589415	B2	19891012		
	DK 8601661	A	19861016	DK 1986-1661	19860411
	FI 8601547	A	19861016	FI 1986-1547	19860411
	EP 198678	A2	19861022	EP 1986-302687	19860411
	EP 198678	A3	19870325		
	EP 198678	B1	19910821		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 66474	E	19910915	AT 1986-302687	19860411
	CN 86102449	A	19861015	CN 1986-102449	19860412
	NO 8601449	A	19861016	NO 1986-1449	19860414
	NO 168475	B	19911118		
	NO 168475	C	19920226		
	JP 61238779	A2	19861024	JP 1986-84403	19860414
	DD 244135	A5	19870325	DD 1986-289075	19860414
	HU 41019	A2	19870330	HU 1986-1556	19860414
	HU 195497	B	19880530		
	ES 553991	A1	19871116	ES 1986-553991	19860415
	AU 596820	B2	19900517	AU 1986-66870	19861222
	AU 8666870	A1	19871008		
PRAI	US 1985-723019	A	19850415		
	EP 1986-302687	A	19860411		

OS CASREACT 105:42669

AB A process for the preparation of quinolinecarboxylic acids I [A = piperazino, N-methylpiperazino, Q [n = 0, 1; R³ = H, Me, Et, Pr, CHMe₂, (un)substituted mono- or diazabicycloalkyl]; X = H, F; R² = C1-3 alkyl, C3-6 cycloalkyl] and their pharmaceutically acceptable salts, useful as antibacterials (no data), comprised: (a) reacting 1.0-3.0 equiv of an iodotrialkylsilane in an inert solvent with II (R¹ = C1-3 alkyl) and heating the reaction mixture until the reaction is complete at 30-100° to form a trialkylsilyl ester thereof; (b) adding ≥1 equiv of the appropriate amine to the trialkylsilyl ester in an aprotic solvent or an aprotic cosolvent and heating the reaction mixture between 60° and 120° until the reaction is complete. In an example, 97% III was prepared

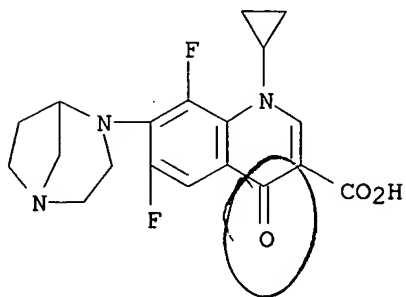
IT 100936-74-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as antibacterial)

RN 100936-74-1 CAPLUS

10/657,738

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1986:148850 CAPLUS
 DN 104:148850
 TI Substituted naphthyridine-, quinoline- and benzoxazinecarboxylic acids as
 antibacterial agents
 IN Hutt, Marland P.; Mich, Thomas F.; Culbertson, Townley P.
 PA Warner-Lambert Co., USA
 SO Eur. Pat. Appl., 64 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 159174	A2	19851023	EP 1985-302479	19850409
	EP 159174	A3	19870204		
	EP 159174	B1	19911023		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 4571396	A	19860218	US 1985-708565	19850311
	CA 1340695	A1	19990810	CA 1985-477394	19850325
	ZA 8502365	A	19851127	ZA 1985-2365	19850328
	AU 8540920	A1	19851024	AU 1985-40920	19850409
	AU 566984	B2	19871105		
	AT 68793	E	19911115	AT 1985-302479	19850409
	IL 74882	A1	19880630	IL 1985-74882	19850411
	FI 8501471	A	19851017	FI 1985-1471	19850412
	FI 83872	B	19910531		
	FI 83872	C	19911230		
	DK 8501696	A	19851017	DK 1985-1696	19850415
	DK 172796	B1	19990719		
	NO 8501501	A	19851017	NO 1985-1501	19850415
	NO 162560	B	19891009		
	NO 162560	C	19900117		
	JP 60260573	A2	19851223	JP 1985-78623	19850415
	JP 07002739	B4	19950118		
	HU 37759	A2	19860228	HU 1985-1399	19850415
	ES 542239	A1	19860301	ES 1985-542239	19850415
	HU 201554	B	19901128	HU 1990-805	19850415
	FI 88040	B	19921215	FI 1990-3556	19900713
	FI 88040	C	19930325		
PRAI	US 1984-600934	A	19840416		
	US 1985-708565	A	19850311		
	EP 1985-302479	A	19850409		

OS CASREACT 104:148850

AB The title compds. [I; R1 = H, alkyl, cation; R2 = CH2:CH, cycloalkyl, (un)substituted alkyl; X = CH, CF, N; Z = bicyclic amino; and II; R1, Z as given; R3, R4 = H, alkyl; W = CH2, O, S, RN; Y = H, F, amino; R = H, (hydroxy)alkyl, PhCH2, 4-H2NC6H4CH2] were prepared. Thus, 2.67 g I (R1 = H, R2 = cyclopropyl, X = N, Z = EtSO2), prepared in 11 steps from Et 4-(6-chloro-3-nitro-2-pyridinyl)-1-piperazinecarboxylate, was stirred with 1.58 g 1,4-diazabicyclo[3.2.1]octane-di-HCl at 0°, then 18 h at room temperature, to give 1.04g diazabicyclooctylnaphthyridinecarboxylic acid III. Against Escherichia coli Vogel III had a min. inhibitory concentration of 0.05 µg/mL.

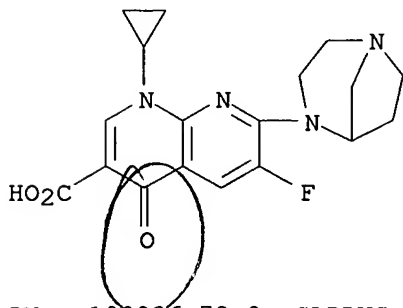
IT 100936-71-8P 100936-72-9P 100936-73-0P
 100936-74-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)

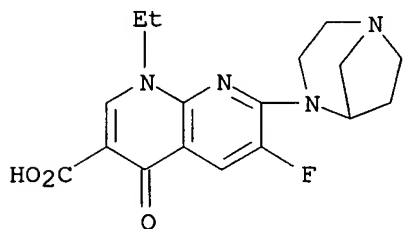
RN 100936-71-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



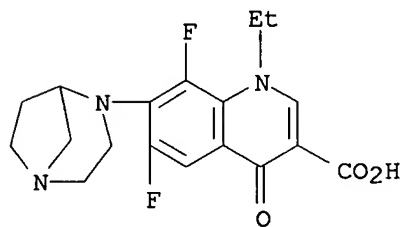
RN 100936-72-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



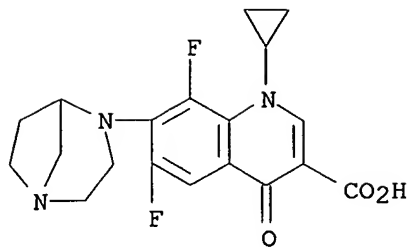
RN 100936-73-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



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FILE 'REGISTRY' ENTERED AT 15:26:51 ON 20 JAN 2006

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 L3 STRUCTURE UPLOADED
 L4 9 S L3 SSS SAM
 L5 STRUCTURE UPLOADED
 L6 14 S L5 SSS SAM
 L7 182 S L5 SSS FUL

FILE 'CAPLUS' ENTERED AT 15:41:58 ON 20 JAN 2006

L8 23 S L7

FILE 'CAOLD' ENTERED AT 15:42:51 ON 20 JAN 2006

=> s 17

L9 0 L7

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.44

296.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-17.25

STN INTERNATIONAL LOGOFF AT 15:43:04 ON 20 JAN 2006